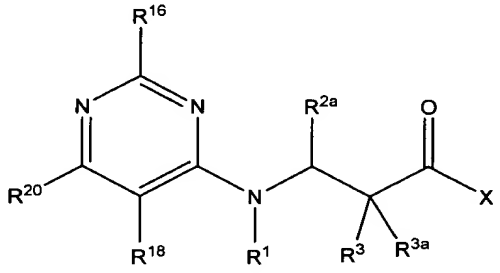
Amendments to the Claims:

This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims:

Claims 1-26 (previously canceled).

Claim 27 (currently amended): A compound of Formula (I):



(I)

wherein:

R^1 is selected from the group consisting of:

A) hydrogen;

B) alkyl of from 1 to 6 carbon atoms;

C) substituted alkyl of from 1 to 10 carbon atoms, having 1 to 5 substituents selected from the group consisting of:

(1) alkoxy as defined in V herein;

(2) substituted alkoxy as defined in B^1 herein;

(3) acyl as defined in R^1 herein;

(4) acylamino as defined in S^1 herein;

(5) thiocarbonylamino as defined in B^2 herein;

(6) acyloxy as defined in T^1 herein;

(7) amino having the formula "$-NH_2-$";

(8) amidino having the formula "$H_2NC(=NH)-$";

(9) alkyl amidino wherein alkyl is defined in B herein and amidino is defined in C8 herein;

(10) thioamidino as defined in A^2 herein;

(11) aminoacyl as defined in U^1 herein;

(12) aminocarbonylamino as defined in V^1 herein;

(13) aminothiocarbonylamino as defined in W^1 herein;

(14) aminocarbonyloxy as defined in X^1 herein;

(15) aryl as defined in J herein;

(16) substituted aryl as defined in K herein;

(17) aryloxy as defined in I^1 herein;

(18) substituted aryloxy as defined in J^1 herein;

(19) aryloxyaryl having the formula "aryl-O-aryl";

(20) substituted aryloxyaryl having the formula "aryl-O-aryl" substituted with from 1 to 3 substituents on either or both aryl rings selected from the group consisting of:

(a) hydroxy;

(b) acyl as defined in R^1 herein;

(c) acylamino as defined in S^1 herein;

(d) thiocarbonylamino as defined in B^2 herein;

(e) acyloxy as defined in T^1 herein;

(f) alkyl as defined in B herein;

(g) substituted alkyl as defined in C herein;

(h) alkoxy as defined in V herein;

(i) substituted alkoxy as defined in B^1 herein;

(j) alkenyl as defined in D herein;

(k) substituted alkenyl as defined in E herein;

(l) alkynyl as defined in U herein;

(m) substituted alkynyl as defined in Q^231 herein;

(n) amidino as defined in C8 herein;

(o) alkylamidino wherein alkyl is defined in B herein and amidino is defined in C8 herein;

(p) thioamidino as defined in A^2 herein;

(q) amino as defined in C7 herein;

(r) aminoacyl as defined in U^1 herein;

(s) aminocarbonyloxy as defined in X^1 herein;

(t) aminocarbonylamino as defined in V^1 herein;

(u) aminothiocarbonylamino as defined in W^1 herein;

(v) aryl as defined in J herein;

(w) substituted aryl as defined in K herein;

(x) aryloxy as defined in I^1 herein;

(y) substituted aryloxy as defined in J^1 herein;

(z) cycloalkoxy as defined in E^1 herein;

(a^1) substituted cycloalkoxy as defined in F^1 herein;

(b^1) heteroaryloxy as defined in K^1 herein;

(c^1) substituted heteroaryloxy as defined in L^1 herein;

(d^1) heterocyclyloxy as defined in M^1 herein;

(e^1) substituted heterocyclyloxy as defined in N^1 herein;

(f^1) carboxyl;

(g^1) carboxylalkyl wherein alkyl is defined in B herein;

(h^1) carboxyl-substituted alkyl wherein substituted alkyl is defined in C herein;

(i^1) carboxyl-cycloalkyl wherein cycloalkyl is defined in F herein;

(j^1) carboxyl-substituted cycloalkyl wherein substituted cycloalkyl is defined in G herein;

(k^1) carboxylaryl wherein aryl is defined in J herein;

(l^1) carboxyl-substituted aryl wherein substituted aryl is defined in K herein;

(m^1) carboxylheteroaryl wherein heteroaryl is defined in L herein;

(n^1) carboxyl-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(o^1) carboxylheterocyclic wherein heterocyclic is defined in N herein;

(p^1) carboxyl-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(q^1) carboxylamido;

(r^1) cyano;

(s^1) thiol as defined in Q^2(38) herein;

(t^1) thioalkyl as defined in X herein;

(u^1) substituted thioalkyl as defined in C42 herein;

(v^1) thioaryl as defined in C43 herein;

(w^1) substituted thioaryl as defined in C44 herein;

(x^1) thioheteroaryl as defined in C47 herein;

(y^1) substituted thioheteroaryl as defined in C48 herein;

(z^1) thiocycloalkyl as defined in C45 herein;

(a^2) substituted thiocycloalkyl as defined in C46 herein;

(b^2) thioheterocyclic as defined in C49 herein;

(c^2) substituted thioheterocyclic as defined in C50 herein;

(d^2) cycloalkyl as defined in F herein;

(e^2) substituted cycloalkyl as defined in G herein;

(f^2) guanidino as defined in C38 herein;

(g^2) guanidinosulfone as defined in C39 herein;

(h^2) halo as defined in Q herein;

(i^2) nitro;

(j^2) heteroaryl as defined in L herein;

(k^2) substituted heteroaryl as defined in M herein;

(l^2) heterocyclic as defined in N herein;

(m^2) substituted heterocyclic as defined in O herein;

(n^2) cycloalkoxy as defined in E^1 herein;

(o^2) substituted cycloalkoxy as defined in F^1 herein;

(p^2) heteroaryloxy as defined in K^1 herein;

(q^2) substituted heteroaryloxy as defined in L^1 herein;

(r^2) heterocyclyloxy as defined in M^1 herein;

(s^2) substituted heterocyclyloxy as defined in N^1 herein;

(t^2) oxycarbonylamino as defined in Y^1 herein;

(u^2) oxythiocarbonylamino as defined in Z^1 herein;

(v^2) $-S(O)_2$-alkyl wherein alkyl is defined in B herein;

(w^2) $-S(O)_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(x^2) $-S(O)_2$-cycloalkyl wherein cycloalkyl is defined in F herein;

(y^2) $-S(O)_2$-substituted cycloalkyl wherein substituted cycloalkyl is defined in G herein;

(z^2) $-S(O)_2$-alkenyl wherein alkenyl is defined in D herein;

(a^3) $-S(O)_2$-substituted alkenyl wherein substituted alkenyl is defined in E herein;

(b^3) $-S(O)_2$-aryl wherein aryl is defined in J herein;

(c^3) $-S(O)_2$-substituted aryl wherein substituted aryl is defined in K herein;

(d^3) $-S(O)_2$-heteroaryl wherein heteroaryl is defined in L herein;

(e^3) $-S(O)_2$-substituted heteroaryl wherein substituted aryl is defined in M herein;

(f^3) $-S(O)_2$-heterocyclic wherein heterocyclic is defined in N herein;

(g^3) -$S(O)_2$-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(h^3) -$OS(O)_2$-alkyl wherein alkyl is defined in B herein;

(i^3) -$OS(O)_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(j^3) -$OS(O)_2$-aryl wherein aryl is defined in J herein;

(k^3) -$OS(O)_2$-substituted aryl wherein substituted aryl is defined in K herein;

(l^3) -$OS(O)_2$-heteroaryl wherein heteroaryl is defined in L herein;

(m^3) -$OS(O)_2$-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(n^3) -$OS(O)_2$-heterocyclic wherein heterocyclic is defined in N herein;

(o^3) -$OS(O)_2$-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(p^3) -OSO_2-NRR where R is:

(i) hydrogen; or

(ii) alkyl as defined in B herein;

(q^3) -$NRS(O)_2$-alkyl wherein alkyl is defined in B herein;

(r^3) -$NRS(O)_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(s^3) -$NRS(O)_2$-aryl wherein aryl is defined in J herein;

(t^3) -$NRS(O)_2$-substituted aryl wherein substituted aryl is defined in K herein;

(u^3) -$NRS(O)_2$-heteroaryl wherein heteroaryl is defined in L herein;

(v^3) -$NRS(O)_2$-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(w^3) -$NRS(O)_2$-heterocyclic wherein heterocyclic is defined in N herein;

(x^3) -$NRS(O)_2$-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(y^3) -$NRS(O)_2$-NR-alkyl wherein alkyl is defined in B herein;

(z^3) -$NRS(O)_2$-NR-substituted alkyl wherein substituted alkyl is defined in C herein;

(a^4) -$NRS(O)_2$-NR-aryl wherein aryl is defined in J herein;

(b^4) -$NRS(O)_2$-NR-substituted aryl wherein substituted aryl is defined in K herein;

(c^4) -$NRS(O)_2$-NR-heteroaryl wherein heteroaryl is defined in L herein;

(d^4) -$NRS(O)_2$-NR-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(e^4) -$NRS(O)_2$-NR-heterocyclic wherein heterocyclic is defined in N herein;

(f^4) -$NRS(O)_2$-NR-substituted heterocyclic wherein substituted heterocyclic is defined in O herein and where R is:

(i) hydrogen; or

(ii) alkyl as defined in B herein;

(g^4) mono- and di-alkylamino wherein alkylamino is defined in $I^2$9 herein;

(h^4) mono- and di-(substituted alkyl)amino wherein substituted alkylamino is defined in $I^2$10 herein;

(i^4) mono- and di-arylamino wherein aryl is defined in J herein and amino is defined in C7 herein;

(j^4) mono- and di-substituted arylamino wherein substituted aryl is defined in K herein and amino is defined in C7 herein;

(k^4) mono- and di-heteroarylamino wherein heteroaryl is defined in L herein and amino is defined in C7 herein;

(l^4) mono- and di-substituted heteroarylamino wherein substituted heteroaryl is defined in M herein and amino is defined in C7 herein;

(m^4) mono- and di-heterocyclic amino wherein heterocyclic is defined in N herein and amino is defined in C7 herein;

(n^4) mono- and di-substituted heterocyclic amino wherein substituted heterocyclic is defined in O herein and amino is defined in C7 herein;

(o^4) unsymmetric di-substituted amines having different substituents selected from:

(i) alkyl as defined in B herein;

(ii) substituted alkyl as defined in C herein;

(iii) aryl as defined in J herein;

(iv) substituted aryl as defined in K herein;

(v) heteroaryl as defined in L herein;

(vi) substituted heteroaryl as defined in M herein;

(vii) heterocyclic as defined in N herein;

(viii) substituted heterocyclic as defined in O herein; and

(ix) amino groups, as defined in C7 herein, on the substituted aryl blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or substituted with $-SO_2NRR$ where R is:

(*a*) hydrogen; or

(*b*) alkyl as defined in B herein;

(21) cyano;

(22) halogen as defined in Q herein;

(23) hydroxyl;

(24) nitro;

(25) carboxyl;

(26) carboxylalkyl wherein alkyl is defined in B herein;

(27) carboxyl-substituted alkyl wherein substituted alkyl is defined in C herein;

(28) carboxyl-cycloalkyl wherein cycloalkyl is defined in F herein;

(29) carboxyl-substituted cycloalkyl wherein substituted cycloalkyl is defined in G herein;

(30) carboxylaryl wherein aryl is defined in J herein;

(31) carboxyl-substituted aryl wherein substituted aryl is defined in K herein;

(32) carboxylheteroaryl wherein heteroaryl is defined in L herein;

(33) carboxyl-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(34) carboxylheterocyclic wherein heterocyclic is defined in N herein;

(35) carboxyl-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(36) cycloalkyl as defined in F herein;

(37) substituted cycloalkyl as defined in G herein;

(38) guanidino having the formula -NRC(=NR)NRR, -NRC(=NR)NR-alkyl, -NRC(=NR)NR-substituted alkyl, -NRC(=NR)NR-alkenyl, -NRC(=NR)NR-substituted alkenyl, -NRC(=NR)NR-alkynyl, -NRC(=NR)NR-substituted alkynyl,

-NRC(=NR)NR-aryl, -NRC(=NR)NR-substituted aryl, -NRC(=NR)NR-cycloalkyl, -NRC(=NR)NR-heteroaryl, -NRC(=NR)NR-substituted heteroaryl, -NRC(=NR)NR-heterocyclic, and -NRC(=NR)NR-substituted heterocyclic where each R is independently hydrogen and alkyl as well as where one of the amino groups is blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like and wherein alkyl is defined in B herein; substituted alkyl is defined in C herein; alkenyl is defined in D herein; substituted alkenyl is defined in E herein; alkynyl is defined in U herein; substituted alkynyl is defined in $Q^2$31 herein; cycloalkyl is defined in F herein; substituted cycloalkyl is defined in G herein; aryl is defined in J herein; substituted aryl is defined in K herein; heteroaryl is defined in L herein; substituted heteroaryl is defined in M herein; heterocyclic is defined in N herein; and substituted heterocyclic is defined in O herein;

(39) guanidinosulfone having the formula -NRC(=NR)NRSO$_2$-alkyl, -NRC(=NR)NRSO$_2$-substituted alkyl, -NRC(=NR)NRSO$_2$-alkenyl, -NRC(=NR)NRSO$_2$-substituted alkenyl, -NRC(=NR)NRSO$_2$-alkynyl,-NRC(=NR)NRSO$_2$-substituted alkynyl, -NRC(=NR)NRSO$_2$ -aryl,-NRC(=NR)NRSO$_2$-substituted aryl, -NRC(=NR)NRSO$_2$-cycloalkyl, -NRC(=NR)NRSO$_2$-substituted cycloalkyl, -NRC(=NR)NRSO$_2$-heteroaryl, and -NRC(=NR)NRSO$_2$-substituted heteroaryl, -NRC(=NR)NRSO$_2$-heterocyclic, and -NRC(=NR)NRSO$_2$-substituted heterocyclic where each R is independently hydrogen and alkyl and wherein alkyl is defined in B herein; substituted alkyl is defined in C herein; alkenyl is defined in D herein; substituted alkenyl is defined in E herein;

alkynyl is defined in U herein; substituted alkynyl is defined in $Q^2$31 herein; cycloalkyl is defined in F herein; substituted cycloalkyl is defined in G herein; aryl is defined in J herein; substituted aryl is defined in K herein; heteroaryl is defined in L herein; substituted heteroaryl is defined in M herein; heterocyclic is defined in N herein; and substituted heterocyclic is defined in O herein;

(40) thiol as defined in Q^2(38) herein;

(41) thioalkyl as defined in X herein;

(42) substituted thioalkyl having the formula "-S-substituted alkyl";

(43) thioaryl having the formula "-S-aryl";

(44) substituted thioaryl having the formula "-S-substituted aryl";

(45) thiocycloalkyl having the formula "-S-cycloalkyl";

(46) substituted thiocycloalkyl having the formula "-S-substituted cycloalkyl";

(47) thioheteroaryl having the formula "-S-heteroaryl";

(48) substituted thioheteroaryl having the formula "-s-substituted heteroaryl";

(49) thioheterocyclic having the formula "-S-heterocyclic";

(50) substituted thioheterocyclic having the formula "-S-substituted heterocyclic";

(51) heteroaryl as defined in L herein;

(52) substituted heteroaryl as defined in M herein;

(53) heterocyclic as defined in N herein;

(54) substituted heterocyclic as defined in O herein;

(55) cycloalkoxy as defined in E^1 herein;

(56) substituted cycloalkoxy as defined in F^1 herein;

(57) heteroaryloxy as defined in K^1 herein;

(58) substituted heteroaryloxy as defined in L^1 herein;

(59) heterocyclyloxy as defined in M^1 herein;

(60) substituted heterocyclyloxy as defined in N^1 herein;

(61) oxycarbonylamino as defined in Y^1 herein;

(62) oxythiocarbonylamino as defined in Z^1 herein;

(63) $-OS(O)_2$-alkyl wherein alkyl is defined in B herein;

(64) $-OS(O)_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(65) $-OS(O)_2$-aryl wherein aryl is defined in J herein;

(66) $-OS(O)_2$-substituted aryl wherein substituted aryl is defined in K herein;

(67) $-OS(O)_2$-heteroaryl wherein heteroaryl is defined in L herein;

(68) $-OS(O)_2$-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(69) $-OS(O)_2$-heterocyclic wherein heterocyclic is defined in N herein;

(70) $-OS(O)_2$-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(71) $-OSO_2$-NRR where R is:

(a) hydrogen; or

(b) alkyl as defined in B herein;

(72) $-NRS(O)_2$-alkyl wherein alkyl is defined in B herein;

(73) $-NRS(O)_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(74) $-NRS(O)_2$-aryl wherein aryl is defined in J herein;

(75) $-NRS(O)_2$-substituted aryl wherein substituted aryl is defined in K herein;

(76) $-NRS(O)_2$-heteroaryl wherein heteroaryl is defined in L herein;

(77) $-NRS(O)_2$-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(78) -NRS(O)$_2$-heterocyclic wherein heterocyclic is defined in N herein;

(79) -NRS(O)$_2$-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(80) -NRS(O)$_2$-NR-alkyl wherein alkyl is defined in B herein;

(81) -NRS(O)$_2$-NR-substituted alkyl wherein substituted alkyl is defined in C herein;

(82) -NRS(O)$_2$-NR-aryl wherein aryl is defined in J herein;

(83) -NRS(O)$_2$-NR-substituted aryl wherein substituted aryl is defined in K herein;

(84) -NRS(O)$_2$-NR-heteroaryl wherein heteroaryl is defined in L herein;

(85) -NRS(O)$_2$-NR-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(86) -NRS(O)$_2$-NR-heterocyclic wherein heterocyclic is defined in N herein;

(87) -NRS(O)$_2$-NR-substituted heterocyclic wherein substituted heterocyclic is defined as O herein and where R is:

(a) hydrogen; or

(b) alkyl as defined in B herein;

(88) mono- and di-alkylamino wherein alkylamino is defined in I^{2}9 herein;

(89) mono- and di-(substituted alkyl)amino wherein substituted alkylamino is defined in I^{2}10 herein;

(90) mono- and di-arylamino wherein aryl is defined in J herein and amino is defined in C7 herein;

(91) mono- and di-substituted arylamino wherein substituted aryl is defined in K herein and amino is defined in C7 herein;

(92) mono- and di-heteroarylamino wherein heteroaryl is defined in L herein and amino is defined in C7 herein;

(93) mono- and di-substituted heteroarylamino wherein substituted heteroaryl is defined in M herein and amino is defined in C7 herein;

(94) mono- and di-heterocyclic amino wherein heterocyclic is defined in N herein and amino is defined in C7 herein;

(95) mono- and di-substituted heterocyclic amino wherein substituted heterocyclic is defined in O herein and amino is defined in C7 herein;

(96) unsymmetric di-substituted amines having different substituents selected from:

(a) alkyl as defined in B herein;

(b) substituted alkyl as defined in C herein;

(c) aryl as defined in J herein;

(d) substituted aryl as defined in K herein;

(e) heteroaryl as defined in L herein;

(f) substituted heteroaryl as defined in M herein;

(g) heterocyclic as defined in N herein;

(h) substituted heterocyclic as defined in O herein; and

(i) substituted alkyl groups having amino groups blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or alkyl/substituted alkyl groups substituted with:

(i) $-SO_2$-alkyl wherein alkyl is defined in B herein;

(ii) $-SO_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(iii) $-SO_2$-alkenyl wherein alkenyl is defined in D herein;

(iv)-SO_2-substituted alkenyl wherein substituted alkenyl is defined in E herein;

(v) -SO_2-cycloalkyl wherein cycloalkyl is defined in F herein;

(vi)-SO_2-substituted cycloalkyl wherein substituted cycloalkyl is defined in G herein;

(vii) -SO_2-aryl wherein aryl is defined in J herein;

(viii) -SO_2-substituted aryl wherein substituted aryl is defined in K herein;

(ix)-SO_2-heteroaryl wherein heteroaryl is defined in L herein;

(x) -SO_2-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(xi)-SO_2-heterocyclic wherein heterocyclic is defined in N herein;

(xii) -SO_2-substituted heterocyclic wherein substituted heterocyclic is defined in O herein; and

(xiii) -SO_2NRR where R is:

(*a*) hydrogen; or

(*b*) alkyl as defined in B herein;

D) alkenyl of from 2 to 6 carbon atoms and from 1-2 sites of alkenyl unsaturation;

E) substituted alkenyl of from 1 to 5 substituents selected from the group consisting of:

(1) alkoxy as defined in V herein;

(2) substituted alkoxy as defined in B^1 herein;

(3) acyl as defined in R^1 herein;

(4) acylamino as defined in S^1 herein;

(5) thiocarbonylamino as defined in B^2 herein;

(6) acyloxy as defined in T^1 herein;

(7) amino as defined in C7 herein;

(8) amidino as defined in C8 herein;

(9) alkylamidino wherein alkyl is defined in B herein and amidino is defined in C8 herein;

(10) thioamidino as defined in A^2 herein;

(11) aminoacyl as defined in U^1 herein;

(12) aminocarbonylamino as defined in V^1 herein;

(13) aminothiocarbonylamino as defined in W^1 herein;

(14) aminocarbonyloxy as defined in X^1 herein;

(15) aryl as defined in J herein;

(16) substituted aryl as defined in K herein;

(17) aryloxy as defined in I^1 herein;

(18) substituted aryloxy as defined in J^1 herein;

(19) aryloxyaryl as defined in C19 herein;

(20) substituted aryloxyaryl as defined in C20 herein;

(21) halogen as defined in Q herein;

(22) hydroxyl;

(23) cyano;

(24) nitro;

(25) carboxyl;

(26) carboxylalkyl wherein alkyl is defined in B herein;

(27) carboxyl-substituted alkyl wherein substituted alkyl is defined in C herein;

(28) carboxyl-cycloalkyl wherein cycloalkyl is defined in F herein;

(29) carboxyl-substituted cycloalkyl wherein substituted cycloalkyl is defined in G herein;

(30) carboxylaryl wherein aryl is defined in J herein;

(31) carboxyl-substituted aryl wherein substituted aryl is defined in K herein;

(32) carboxylheteroaryl wherein heteroaryl is defined in L herein;

(33) carboxyl-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(34) carboxylheterocyclic wherein heterocyclic is defined in N herein;

(35) carboxyl-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(36) cycloalkyl as defined in F herein;

(37) substituted cycloalkyl as defined in G herein;

(38) guanidino as defined in C38 herein;

(39) guanidinosulfone as defined in C39 herein;

(40) thiol as defined in Q^2(38) herein;

(41) thioalkyl as defined in X herein;

(42) substituted thioalkyl as defined in C42 herein;

(43) thioaryl as defined in C43 herein;

(44) substituted thioaryl as defined in C44 herein;

(45) thiocycloalkyl as defined in C45 herein;

(46) substituted thiocycloalkyl as defined in C46 herein;

(47) thioheteroaryl as defined in C47 herein;

(48) substituted thioheteroaryl as defined in C48 herein;

(49) thioheterocyclic as defined in C49 herein;

(50) substituted thioheterocyclic as defined in C50 herein;

(51) heteroaryl as defined in L herein;

(52) substituted heteroaryl as defined in M herein;

(53) heterocyclic as defined in N herein;

(54) substituted heterocyclic as defined in O herein;

(55) cycloalkoxy as defined in E^1 herein;

(56) substituted cycloalkoxy as defined in F^1 herein;

(57) heteroaryloxy as defined in K^1 herein;

(58) substituted heteroaryloxy as defined in L^1 herein;

(59) heterocyclyloxy as defined in M^1 herein;

(60) substituted heterocyclyloxy as defined in N^1 herein;

(61) oxycarbonylamino as defined in Y^1 herein;

(62) oxythiocarbonylamino as defined in Z^1 herein;

(63) $-OS(O)_2$-alkyl wherein alkyl is defined in B herein;

(64) $-OS(O)_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(65) $-OS(O)_2$-aryl wherein aryl is defined in J herein;

(66) $-OS(O)_2$-substituted aryl wherein substituted aryl is defined in K herein;

(67) $-OS(O)_2$-heteroaryl wherein heteroaryl is defined in L herein;

(68) $-OS(O)_2$-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(69) $-OS(O)_2$-heterocyclic wherein heterocyclic is defined in N herein;

(70) $-OS(O)_2$-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(71) OSO_2-NRR where R is:

(a) hydrogen; or

(b) alkyl as defined in B herein;

(72) $-NRS(O)_2$-alkyl wherein alkyl is defined in B herein;

(73) $-NRS(O)_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(74) $-NRS(O)_2$-aryl wherein aryl is defined in J herein;

(75) $-NRS(O)_2$-substituted aryl wherein substituted aryl is defined in K herein;

(76) -NRS$(O)_2$-heteroaryl wherein heteroaryl is defined in L herein;

(77) -NRS$(O)_2$-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(78) -NRS$(O)_2$-heterocyclic wherein heterocyclic is defined in N herein;

(79) -NRS$(O)_2$-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(80) -NRS$(O)_2$-NR-alkyl wherein alkyl is defined in B herein;

(81) -NRS$(O)_2$-NR-substituted alkyl wherein substituted alkyl is defined in C herein;

(82) -NRS$(O)_2$-NR-aryl wherein aryl is defined in J herein;

(83) -NRS$(O)_2$-NR-substituted aryl wherein substituted aryl is defined in K herein;

(84) -NRS$(O)_2$-NR-heteroaryl wherein heteroaryl is defined in L herein;

(85) -NRS$(O)_2$-NR-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(86) -NRS$(O)_2$-NR-heterocyclic wherein heterocyclic is defined in N herein;

(87) -NRS$(O)_2$-NR-substituted heterocyclic wherein substituted heterocyclic is defined in O herein and where R is:

(a) hydrogen; or

(b) alkyl as defined in B herein;

(88) mono- and di-alkylamino wherein alkylamino is defined in $I^2$9 herein;

(89) mono- and di-(substituted alkyl)amino wherein substituted alkylamino is defined in $I^2$10 herein;

(90) mono- and di-arylamino wherein aryl is defined in J herein and amino is defined in C7 herein;

(91) mono- and di-substituted arylamino wherein substituted aryl is defined in K herein and amino is defined in C7 herein;

(92) mono- and di-heteroarylamino wherein heteroaryl is defined in L herein and amino is defined in C7 herein;

(93) mono- and di-substituted heteroarylamino wherein substituted heteroaryl is defined in M herein and amino is defined in C7 herein;

(94) mono- and di-heterocyclic amino wherein heterocyclic is defined in N herein and amino is defined in C7 herein;

(95) mono- and di-substituted heterocyclic amino wherein substituted heterocyclic is defined in O herein and amino is defined in C7 herein;

(96) unsymmetric di-substituted amines having different substituents selected from:

(a) alkyl as defined in B herein;

(b) substituted alkyl as defined in C herein;

(c) aryl as defined in J herein;

(d) substituted aryl as defined in K herein;

(e) heteroaryl as defined in L herein;

(f) substituted heteroaryl as defined in M herein;

(g) heterocyclic as defined in N herein;

(h) substituted heterocyclic as defined in O herein; and

(i) substituted alkenyl groups having amino groups blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or alkenyl/substituted alkenyl groups substituted with:

(i) -SO_2-alkyl wherein alkyl is defined in B herein;

(ii) -SO_2-substituted alkyl wherein substituted alkyl is defined in C herein;

(iii) -SO_2-alkenyl wherein alkenyl is defined in D herein;

(iv)-SO_2-substituted alkenyl wherein substituted alkenyl is defined in E herein;

(v) -SO_2-cycloalkyl wherein cycloalkyl is defined in F herein;

(vi)-SO_2-substituted cycloalkyl wherein substituted cycloalkyl is defined in G herein;

(vii) -SO_2-aryl wherein aryl is defined in J herein;

(viii) -SO_2-substituted aryl wherein substituted aryl is defined in K herein;

(ix) -SO_2-heteroaryl wherein heteroaryl is defined in L herein;

(x) -SO_2-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(xi)-SO_2-heterocyclic wherein heterocyclic is defined in N herein;

(xii) -SO_2-substituted heterocyclic wherein substituted heterocyclic is defined in O herein; and

(xiii) -SO_2NRR where R is:

(*a*) hydrogen; or

(*b*) alkyl as defined in B herein;

F) cycloalkyl of from 3 to 8 carbon atoms;

G) substituted cycloalkyl of from 3 to 8 carbon atoms, having from 1 to 5 substituents selected from the group consisting of:

(1) oxo (=O);

(2) thioxo (=S);

(3) alkoxy as defined in V herein;

(4) substituted alkoxy as defined in B^1 herein;

(5) acyl as defined in R^1 herein;

(6) acylamino as defined in S^1 herein;

(7) thiocarbonylamino as defined in B^2 herein;

(8) acyloxy as defined in T^1 herein;

(9) amino as defined in C7 herein;

(10) amidino as defined in C8 herein;

(11) alkylamidino wherein alkyl is defined in B herein and amidino is defined in C8 herein;

(12) thioamidino as defined in A^2 herein;

(13) aminoacyl as defined in U^1 herein;

(14) aminocarbonylamino as defined in V^1 herein;

(15) aminothiocarbonylamino as defined in W^1 herein;

(16) aminocarbonyloxy as defined in X^1 herein;

(17) aryl as defined in J herein;

(18) substituted aryl as defined in K herein;

(19) aryloxy as defined in I^1 herein;

(20) substituted aryloxy as defined in J^1 herein;

(21) aryloxyaryl as defined in C19 herein;

(22) substituted aryloxyaryl as defined in C20 herein;

(23) halogen as defined in Q herein;

(24) hydroxyl;

(25) cyano;

(26) nitro;

(27) carboxyl;

(28) carboxylalkyl wherein alkyl is defined in B herein;

(29) carboxyl-substituted alkyl wherein substituted alkyl is defined in C herein;

(30) carboxyl-cycloalkyl wherein cycloalkyl is defined in F herein;

(31) carboxyl-substituted cycloalkyl wherein substituted cycloalkyl is defined in G herein;

(32) carboxylaryl wherein aryl is defined in J herein;

(33) carboxyl-substituted aryl wherein substituted aryl is defined in K herein;

(34) carboxylheteroaryl wherein heteroaryl is defined in L herein;

(35) carboxyl-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(36) carboxylheterocyclic wherein heterocyclic is defined in N herein;

(37) carboxyl-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(38) cycloalkyl as defined in F herein;

(39) substituted cycloalkyl as defined in G herein;

(40) guanidino as defined in C38 herein;

(41) guanidinosulfone as defined in C39 herein;

(42) thiol as defined in Q^2(38) herein;

(43) thioalkyl as defined in X herein;

(44) substituted thioalkyl as defined in C42 herein;

(45) thioaryl as defined in C43 herein;

(46) substituted thioaryl as defined in C44 herein;

(47) thiocycloalkyl as defined in C45 herein;

(48) substituted thiocycloalkyl as defined in C46 herein;

(49) thioheteroaryl as defined in C47 herein;

(50) substituted thioheteroaryl as defined in C48 herein;

(51) thioheterocyclic as defined in C49 herein;

(52) substituted thioheterocyclic as defined in C50 herein;

(53) heteroaryl as defined in L herein;

(54) substituted heteroaryl as defined in M herein;

(55) heterocyclic as defined in N herein;

(56) substituted heterocyclic as defined in O herein;

(57) cycloalkoxy as defined in E^1 herein;

(58) substituted cycloalkoxy as defined in F^1 herein;

(59) heteroaryloxy as defined in K^1 herein;

(60) substituted heteroaryloxy as defined in L^1 herein;

(61) heterocyclyloxy as defined in M^1 herein;

(62) substituted heterocyclyloxy as defined in N^1 herein;

(63) oxycarbonylamino as defined in Y^1 herein;

(64) oxythiocarbonylamino as defined in Z^1 herein;

(65) $-OS(O)_2$-alkyl wherein alkyl is defined in B herein;

(66) $-OS(O)_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(67) $-OS(O)_2$-aryl wherein aryl is defined in J herein;

(68) $-OS(O)_2$-substituted aryl wherein substituted aryl is defined in K herein;

(69) $-OS(O)_2$-heteroaryl wherein heteroaryl is defined in L herein;

(70) $-OS(O)_2$-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(71) $-OS(O)_2$-heterocyclic wherein heterocyclic is defined in N herein;

(72) $-OS(O)_2$-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(73) $-OSO_2$-NRR where R is:

(a) hydrogen; or

(b) alkyl as defined in B herein;

(74) $-NRS(O)_2$-alkyl wherein alkyl is defined in B herein;

(75) $-NRS(O)_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(76) $-NRS(O)_2$-aryl wherein aryl is defined in J herein;

(77) $-NRS(O)_2$-substituted aryl wherein substituted aryl is defined in K herein;

(78) $-NRS(O)_2$-heteroaryl wherein heteroaryl is defined in L herein;

(79) -NRS(O)$_2$-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(80) -NRS(O)$_2$-heterocyclic wherein heterocyclic is defined in N herein;

(81) -NRS(O)$_2$-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(82) -NRS(O)$_2$-NR-alkyl wherein alkyl is defined in B herein;

(83) -NRS(O)$_2$-NR-substituted alkyl wherein substituted alkyl is defined in C herein;

(84) -NRS(O)$_2$-NR-aryl wherein aryl is defined in J herein;

(85) -NRS(O)$_2$-NR-substituted aryl wherein substituted aryl is defined in K herein;

(86) -NRS(O)$_2$-NR-heteroaryl wherein heteroaryl is defined in L herein;

(87) -NRS(O)$_2$-NR-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(88) -NRS(O)$_2$-NR-heterocyclic wherein heterocyclic is defined in N herein;

(89) -NRS(O)$_2$-NR-substituted heterocyclic wherein substituted heterocyclic is defined in O herein and where R is:

(a) hydrogen; or

(b) alkyl as defined in B herein;

(90) mono- and di-alkylamino wherein alkylamino is defined in I^{2}9 herein;

(91) mono- and di-(substituted alkyl)amino wherein substituted alkylamino is defined in I^{2}10 herein;

(92) mono- and di-arylamino wherein aryl is defined in J herein and amino is defined in C7 herein;

(93) mono- and di-substituted arylamino wherein substituted aryl is defined in K herein and amino is defined in C7 herein;

(94) mono- and di-heteroarylamino wherein heteroaryl is defined in L herein and amino is defined in C7 herein;

(95) mono- and di-substituted heteroarylamino wherein substituted heteroaryl is defined in M herein and amino is defined in C7 herein;

(96) mono- and di-heterocyclic amino wherein heterocyclic is defined in N herein and amino is defined in C7 herein;

(97) mono- and di-substituted heterocyclic amino wherein substituted heterocyclic is defined in O herein and amino is defined in C7 herein;

(98) unsymmetric di-substituted amines having different substituents selected from:

(a) alkyl as defined in B herein;

(b) substituted alkyl as defined in C herein;

(c) aryl as defined in J herein;

(d) substituted aryl as defined in K herein;

(e) heteroaryl as defined in L herein;

(f) substituted heteroaryl as defined in M herein;

(g) heterocyclic as defined in N herein;

(h) substituted heterocyclic as defined in O herein; and

(i) substituted alkynyl groups having amino groups blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or alkynyl/substituted alkynyl groups substituted with:

(i) $-SO_2$-alkyl wherein alkyl is defined in B herein;

(ii) $-SO_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(iii) -SO_2-alkenyl wherein alkenyl is defined in D herein;

(iv)-SO_2-substituted alkenyl wherein substituted alkenyl is defined in E herein;

(v) -SO_2-cycloalkyl wherein cycloalkyl is defined in F herein;

(vi)-SO_2-substituted cycloalkyl wherein substituted cycloalkyl is defined in G herein;

(vii) -SO_2-aryl wherein aryl is defined in J herein;

(viii) -SO_2-substituted aryl wherein substituted aryl is defined in K herein;

(ix)-SO_2-heteroaryl wherein heteroaryl is defined in L herein;

(x) -SO_2-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(xi)-SO_2-heterocyclic wherein heterocyclic is defined in N herein;

(xii) -SO_2-substituted heterocyclic wherein substituted heterocyclic is defined in O herein; and

(xiii) -SO_2NRR where R is:

(*a*) hydrogen; or

(*b*) alkyl as defined in B herein;

H) cycloalkenyl of from 3 to 8 carbon atoms;

I) substituted cycloalkenyl of from 3 to 8 carbon atoms, having from 1 to 5 substituents selected from the group consisting of:

(1) oxo (=O);

(2) thioxo (=S);

(3) alkoxy as defined in V herein;

(4) substituted alkoxy as defined in B^1 herein;

(5) acyl as defined in R^1 herein;

(6) acylamino as defined in S^1 herein;

(7) thiocarbonylamino as defined in B^2 herein;

(8) acyloxy as defined in T^1 herein;

(9) amino as defined in C7 herein;

(10) amidino as defined in C8 herein;

(11) alkylamidino wherein alkyl is defined in B herein and amidino is defined in C8 herein;

(12) thioamidino as defined in A^2 herein;

(13) aminoacyl as defined in U^1 herein;

(14) aminocarbonylamino as defined in V^1 herein;

(15) aminothiocarbonylamino as defined in W^1 herein;

(16) aminocarbonyloxy as defined in X^1 herein;

(17) aryl as defined in J herein;

(18) substituted aryl as defined in K herein;

(19) aryloxy as defined in I^1 herein;

(20) substituted aryloxy as defined in J^1 herein;

(21) aryloxyaryl as defined in C19 herein;

(22) substituted aryloxyaryl as defined in C20 herein;

(23) halogen as defined in Q herein;

(24) hydroxyl;

(25) cyano;

(26) nitro;

(27) carboxyl;

(28) carboxylalkyl wherein alkyl is defined in B herein;

(29) carboxyl-substituted alkyl wherein substituted alkyl is defined in C herein;

(30) carboxyl-cycloalkyl wherein cycloalkyl is defined in F herein;

(31) carboxyl-substituted cycloalkyl wherein substituted cycloalkyl is defined in G herein;

(32) carboxylaryl wherein aryl is defined in J herein;

(33) carboxyl-substituted aryl wherein substituted aryl is defined in K herein;

(34) carboxylheteroaryl wherein heteroaryl is defined in L herein;

(35) carboxyl-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(36) carboxylheterocyclic wherein heterocyclic is defined in N herein;

(37) carboxyl-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(38) cycloalkyl as defined in F herein;

(39) substituted cycloalkyl as defined in G herein;

(40) guanidino as defined in C38 herein;

(41) guanidinosulfone as defined in C39 herein;

(42) thiol as defined in Q^2(38) herein;

(43) thioalkyl as defined in X herein;

(44) substituted thioalkyl as defined in C42 herein;

(45) thioaryl as defined in C43 herein;

(46) substituted thioaryl as defined in C44 herein;

(47) thiocycloalkyl as defined in C45 herein;

(48) substituted thiocycloalkyl as defined in C46 herein;

(49) thioheteroaryl as defined in C47 herein;

(50) substituted thioheteroaryl as defined in C48 herein;

(51) thioheterocyclic as defined in C49 herein;

(52) substituted thioheterocyclic as defined in C50 herein;

(53) heteroaryl as defined in L herein;

(54) substituted heteroaryl as defined in M herein;

(55) heterocyclic as defined in N herein;

(56) substituted heterocyclic as defined in O herein;

(57) cycloalkoxy as defined in E^1 herein;

(58) substituted cycloalkoxy as defined in F^1 herein;

(59) heteroaryloxy as defined in K^1 herein;

(60) substituted heteroaryloxy as defined in L^1 herein;

(61) heterocyclyloxy as defined in M^1 herein;

(62) substituted heterocyclyloxy as defined in N^1 herein;

(63) oxycarbonylamino as defined in Y^1 herein;

(64) oxythiocarbonylamino as defined in Z^1 herein;

(65) $-OS(O)_2$-alkyl wherein alkyl is defined in B herein;

(66) $-OS(O)_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(67) $-OS(O)_2$-aryl wherein aryl is defined in J herein;

(68) $-OS(O)_2$-substituted aryl wherein substituted aryl is defined in K herein;

(69) $-OS(O)_2$-heteroaryl wherein heteroaryl is defined in L herein;

(70) $-OS(O)_2$-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(71) $-OS(O)_2$-heterocyclic wherein heterocyclic is defined in N herein;

(72) $-OS(O)_2$-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(73) $-OSO_2$-NRR where R is:

(a) hydrogen; or

(b) alkyl as defined in B herein;

(74) $-NRS(O)_2$-alkyl wherein alkyl is defined in B herein;

(75) $-NRS(O)_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(76) $-NRS(O)_2$-aryl wherein aryl is defined in J herein;

(77) $-NRS(O)_2$-substituted aryl wherein substituted aryl is defined in K herein;

(78) $-NRS(O)_2$-heteroaryl wherein heteroaryl is defined in L herein;

(79) -NRS(O)$_2$-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(80) -NRS(O)$_2$-heterocyclic wherein heterocyclic is defined in N herein;

(81) -NRS(O)$_2$-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(82) -NRS(O)$_2$-NR-alkyl wherein alkyl is defined in B herein;

(83) -NRS(O)$_2$-NR-substituted alkyl wherein substituted alkyl is defined in C herein;

(84) -NRS(O)$_2$-NR-aryl wherein aryl is defined in J herein;

(85) -NRS(O)$_2$-NR-substituted aryl wherein substituted aryl is defined in K herein;

(86) -NRS(O)$_2$-NR-heteroaryl wherein heteroaryl is defined in L herein;

(87) -NRS(O)$_2$-NR-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(88) -NRS(O)$_2$-NR-heterocyclic wherein heterocyclic is defined in N herein;

(89) -NRS(O)$_2$-NR-substituted heterocyclic wherein substituted heterocyclic is defined in O herein and where R is:

(a) hydrogen; or

(b) alkyl as defined in B herein;

(90) mono- and di-alkylamino wherein alkylamino is defined in I^{2}9 herein;

(91) mono- and di-(substituted alkyl)amino wherein substituted alkylamino is defined in I^{2}10 herein;

(92) mono- and di-arylamino wherein aryl is defined in J herein and amino is defined in C7 herein;

(93) mono- and di-substituted arylamino wherein substituted aryl is defined in K herein and amino is defined in C7 herein;

(94) mono- and di-heteroarylamino wherein heteroaryl is defined in L herein and amino is defined in C7 herein;

(95) mono- and di-substituted heteroarylamino wherein substituted heteroaryl is defined in M herein and amino is defined in C7 herein;

(96) mono- and di-heterocyclic amino wherein heterocyclic is defined in N herein and amino is defined in C7 herein;

(97) mono- and di-substituted heterocyclic amino wherein substituted heterocyclic is defined in O herein and amino is defined in C7 herein;

(98) unsymmetric di-substituted amines having different substituents selected from:

(a) alkyl as defined in B herein;

(b) substituted alkyl as defined in C herein;

(c) aryl as defined in J herein;

(d) substituted aryl as defined in K herein;

(e) heteroaryl as defined in L herein;

(f) substituted heteroaryl as defined in M herein;

(g) heterocyclic as defined in N herein;

(h) substituted heterocyclic as defined in O herein; and

(i) substituted alkynyl groups having amino groups blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or alkynyl/substituted alkynyl groups substituted with:

(i) $-SO_2$-alkyl wherein alkyl is defined in B herein;

(ii) $-SO_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(iii) -SO_2-alkenyl wherein alkenyl is defined in D herein;

(iv)-SO_2-substituted alkenyl wherein substituted alkenyl is defined in E herein;

(v) -SO_2-cycloalkyl wherein cycloalkyl is defined in F herein;

(vi)-SO_2-substituted cycloalkyl wherein substituted cycloalkyl is defined in G herein;

(vii) -SO_2-aryl wherein aryl is defined in J herein;

(viii) -SO_2-substituted aryl wherein substituted aryl is defined in K herein;

(ix)-SO_2-heteroaryl wherein heteroaryl is defined in L herein;

(x) -SO_2-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(xi)-SO_2-heterocyclic wherein heterocyclic is defined in N herein;

(xii) -SO_2-substituted heterocyclic wherein substituted heterocyclic is defined in O herein; and

(xiii) -SO_2NRR where R is:

(a) hydrogen; or

(b) alkyl as defined in B herein;

(J) aryl is an unsaturated aromatic carbocyclic group of from 6 to 14 carbon atoms;

(K) substituted aryl of from 1 to 3 substituents selected from the group consisting of:

(1) hydroxy;

(2) acyl as defined in R^1 herein;

(3) acylamino as defined in S^1 herein;

(4) thiocarbonylamino as defined in B^2 herein;

(5) acyloxy as defined in T^1 herein;

(6) alkyl as defined in B herein;

(7) substituted alkyl as defined in C herein;

(8) alkoxy as defined in V herein;

(9) substituted alkoxy as defined in B^1 herein;

(10) alkenyl as defined in D herein;

(11) substituted alkenyl as defined in E herein;

(12) alkynyl as defined in U herein;

(13) substituted alkynyl as defined in Q^231 herein;

(14) amidino as defined in C8 herein;

(15) alkylamidino wherein alkyl is defined in B herein and amidino is defined in C8 herein;

(16) thioamidino as defined in A^2 herein;

(17) amino as defined in C7 herein;

(18) aminoacyl as defined in U^1 herein;

(19) aminocarbonyloxy as defined in X^1 herein;

(20) aminocarbonylamino as defined in V^1 herein;

(21) aminothiocarbonylamino as defined in W^1 herein;

(22) aryl as defined in J herein;

(23) substituted aryl as defined in K herein;

(24) aryloxy as defined in I^1 herein;

(25) substituted aryloxy as defined in J^1 herein;

(26) cycloalkoxy as defined in E^1 herein;

(27) substituted cycloalkoxy as defined in F^1 herein;

(28) heteroaryloxy as defined in K^1 herein;

(29) substituted heteroaryloxy as defined in L^1 herein;

(30) heterocyclyloxy as defined in M^1 herein;

(31) substituted heterocyclyloxy as defined in N^1 herein;

(32) carboxyl;

(33) carboxylalkyl wherein alkyl is defined in B herein;

(34) carboxyl-substituted alkyl wherein substituted alkyl is defined in C herein;

(35) carboxyl-cycloalkyl wherein cycloalkyl is defined in F herein;

(36) carboxyl-substituted cycloalkyl wherein substituted cycloalkyl is defined in G herein;

(37) carboxylaryl wherein aryl is defined in J herein;

(38) carboxyl-substituted aryl wherein substituted aryl is defined in K herein;

(39) carboxylheteroaryl wherein heteroaryl is defined in L herein;

(40) carboxyl-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(41) carboxylheterocyclic wherein heterocyclic is defined in N herein;

(42) carboxyl-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(43) carboxylamido;

(44) cyano;

(45) thiol as defined in Q^2(38) herein;

(46) thioalkyl as defined in X herein;

(47) substituted thioalkyl as defined in C42 herein;

(48) thioaryl as defined in C43 herein;

(49) substituted thioaryl as defined in C44 herein;

(50) thioheteroaryl as defined in C47 herein;

(51) substituted thioheteroaryl as defined in C48 herein;

(52) thiocycloalkyl as defined in C45 herein;

(53) substituted thiocycloalkyl as defined in C46 herein;

(54) thioheterocyclic as defined in C49 herein;

(55) substituted thioheterocyclic as defined in C50 herein;

(56) cycloalkyl as defined in F herein;

(57) substituted cycloalkyl as defined in G herein;

(58) guanidino as defined in C38 herein;

(59) guanidinosulfone as defined in C39 herein;

(60) halo as defined in Q herein;

(61) nitro;

(62) heteroaryl as defined in L herein;

(63) substituted heteroaryl as defined in M herein;

(64) heterocyclic as defined in N herein;

(65) substituted heterocyclic as defined in O herein;

(66) cycloalkoxy as defined in E^1 herein;

(67) substituted cycloalkoxy as defined in F^1 herein;

(68) heteroaryloxy as defined in K^1 herein;

(69) substituted heteroaryloxy as defined in L^1 herein;

(70) heterocyclyloxy as defined in M^1 herein;

(71) substituted heterocyclyloxy as defined in N^1 herein;

(72) oxycarbonylamino as defined in Y^1 herein;

(73) oxythiocarbonylamino as defined in Z^1 herein;

(74) $-S(O)_2$-alkyl wherein alkyl is defined in B herein;

(75) $-S(O)_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(76) $-S(O)_2$-cycloalkyl wherein cycloalkyl is defined in F herein;

(77) $-S(O)_2$-substituted cycloalkyl wherein substituted cycloalkyl is defined in G herein;

(78) $-S(O)_2$-alkenyl wherein alkenyl is defined in D herein;

(79) $-S(O)_2$-substituted alkenyl wherein substituted alkenyl is defined in E herein;

(80) $-S(O)_2$-aryl wherein aryl is defined in J herein;

(81) $-S(O)_2$-substituted aryl wherein substituted aryl is defined in K herein;

(82) -$S(O)_2$-heteroaryl wherein heteroaryl is defined in L herein;

(83) -$S(O)_2$-substituted heteroaryl wherein substituted aryl is defined in M herein;

(84) -$S(O)_2$-heterocyclic wherein heterocyclic is defined in N herein;

(85) -$S(O)_2$-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(86) -$OS(O)_2$-alkyl wherein alkyl is defined in B herein;

(87) -$OS(O)_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(88) -$OS(O)_2$-aryl wherein aryl is defined in J herein;

(89) -$OS(O)_2$-substituted aryl wherein substituted aryl is defined in K herein;

(90) -$OS(O)_2$-heteroaryl wherein heteroaryl is defined in L herein;

(91) -$OS(O)_2$-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(92) -$OS(O)_2$-heterocyclic wherein heterocyclic is defined in N herein;

(93) -$OS(O)_2$-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(94) -OSO_2-NRR where R is:

(a) hydrogen; or

(b) alkyl as defined in B herein;

(95) -$NRS(O)_2$-alkyl wherein alkyl is defined in B herein;

(96) -$NRS(O)_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(97) -$NRS(O)_2$-aryl wherein aryl is defined in J herein;

(98) -$NRS(O)_2$-substituted aryl wherein substituted aryl is defined in K herein;

(99) -$NRS(O)_2$-heteroaryl wherein heteroaryl is defined in L herein;

(100) -$NRS(O)_2$-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(101) -$NRS(O)_2$-heterocyclic wherein heterocyclic is defined in N herein;

(102) -$NRS(O)_2$-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(103) -$NRS(O)_2$-NR-alkyl wherein alkyl is defined in B herein;

(104) -$NRS(O)_2$-NR-substituted alkyl wherein substituted alkyl is defined in C herein;

(105) -$NRS(O)_2$-NR-aryl wherein aryl is defined in J herein;

(106) -$NRS(O)_2$-NR-substituted aryl wherein substituted aryl is defined in K herein;

(107) -$NRS(O)_2$-NR-heteroaryl wherein heteroaryl is defined in L herein;

(108) -$NRS(O)_2$-NR-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(109) -$NRS(O)_2$-NR-heterocyclic wherein heterocyclic is defined in N herein;

(110) -$NRS(O)_2$-NR-substituted heterocyclic wherein substituted heterocyclic is defined in O herein and where R is:

(a) hydrogen; or

(b) alkyl as defined in B herein;

(111) mono- and di-alkylamino wherein alkylamino is defined in $I^2$9 herein;

(112) mono- and di-(substituted alkyl)amino wherein substituted alkylamino is defined in $I^2$10 herein;

(113) mono- and di-arylamino wherein aryl is defined in J herein and amino is defined in C7 herein;

(114) mono- and di-substituted arylamino wherein substituted aryl is defined in K herein and amino is defined in C7 herein;

(115) mono- and di-heteroarylamino wherein heteroaryl is defined in L herein and amino is defined in C7 herein;

(116) mono- and di-substituted heteroarylamino wherein substituted heteroaryl is defined in M herein and amino is defined in C7 herein;

(117) mono- and di-heterocyclic amino wherein heterocyclic is defined in N herein and amino is defined in C7 herein;

(118) mono- and di-substituted heterocyclic amino wherein substituted heterocyclic is defined in O herein and amino is defined in C7 herein;

(119) unsymmetric di-substituted amines having different substituents selected from:

(a) alkyl as defined in B herein;

(b) substituted alkyl as defined in C herein;

(c) aryl as defined in J herein;

(d) substituted aryl as defined in K herein;

(e) heteroaryl as defined in L herein;

(f) substituted heteroaryl as defined in M herein;

(g) heterocyclic as defined in N herein;

(h) substituted heterocyclic as defined in O herein; and

(i) amino groups, as defined in C7 herein, on the substituted aryl blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or substituted with -SO_2NRR where R is:

(i) hydrogen; or

(ii) alkyl as defined in B herein;

(L) heteroaryl of from 2 to 10 carbon atoms and 1 to 4 heteroatoms selected from oxygen, nitrogen and sulfer within the ring or oxides thereof;

(M) substituted heteroaryl of from 2 to 10 carbon atoms and 1 to 4 heteroatoms selected from oxygen, nitrogen and ~~sulfer~~ sulfur within the ring or oxides thereof, which are substituted with from 1 to 3 substituents selected from the group consisting of:

(1) hydroxy;

(2) acyl as defined in R^1 herein;

(3) acylamino as defined in S^1 herein;

(4) thiocarbonylamino as defined in B^2 herein;

(5) acyloxy as defined in T^1 herein;

(6) alkyl as defined in B herein;

(7) substituted alkyl as defined in C herein;

(8) alkoxy as defined in V herein;

(9) substituted alkoxy as defined in B^1 herein;

(10) alkenyl as defined in D herein;

(11) substituted alkenyl as defined in E herein;

(12) alkynyl as defined in U herein;

(13) substituted alkynyl as defined in Q^231 herein;

(14) amidino as defined in C8 herein;

(15) alkylamidino wherein alkyl is defined in B herein and amidino is defined in C8 herein;

(16) thioamidino as defined in A^2 herein;

(17) amino as defined in C7 herein;

(18) aminoacyl as defined in U^1 herein;

(19) aminocarbonyloxy as defined in X^1 herein;

(20) aminocarbonylamino as defined in V^1 herein;

(21) aminothiocarbonylamino as defined in W^1 herein;

(22) aryl as defined in J herein;

(23) substituted aryl as defined in K herein;

(24) aryloxy as defined in I^1 herein;

(25) substituted aryloxy as defined in J^1 herein;

(26) cycloalkoxy as defined in E^1 herein;

(27) substituted cycloalkoxy as defined in F^1 herein;

(28) heteroaryloxy as defined in K^1 herein;

(29) substituted heteroaryloxy as defined in L^1 herein;

(30) heterocyclyloxy as defined in M^1 herein;

(31) substituted heterocyclyloxy as defined in N^1 herein;

(32) carboxyl;

(33) carboxylalkyl wherein alkyl is defined in B herein;

(34) carboxyl-substituted alkyl wherein substituted alkyl is defined in C herein;

(35) carboxyl-cycloalkyl wherein cycloalkyl is defined in F herein;

(36) carboxyl-substituted cycloalkyl wherein substituted cycloalkyl is defined in G herein;

(37) carboxylaryl wherein aryl is defined in J herein;

(38) carboxyl-substituted aryl wherein substituted aryl is defined in K herein;

(39) carboxylheteroaryl wherein heteroaryl is defined in L herein;

(40) carboxyl-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(41) carboxylheterocyclic wherein heterocyclic is defined in N herein;

(42) carboxyl-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(43) carboxylamido;

(44) cyano;

(45) thiol as defined in Q^2(38) herein;

(46) thioalkyl as defined in X herein;

(47) substituted thioalkyl as defined in C42 herein;

(48) thioaryl as defined in C43 herein;

(49) substituted thioaryl as defined in C44 herein;

(50) thioheteroaryl as defined in C47 herein;

(51) substituted thioheteroaryl as defined in C48 herein;

(52) thiocycloalkyl as defined in C45 herein;

(53) substituted thiocycloalkyl as defined in C46 herein;

(54) thioheterocyclic as defined in C49 herein;

(55) substituted thioheterocyclic as defined in C50 herein;

(56) cycloalkyl as defined in F herein;

(57) substituted cycloalkyl as defined in G herein;

(58) guanidino as defined in C38 herein;

(59) guanidinosulfone as defined in C39 herein;

(60) halo as defined in Q herein;

(61) nitro;

(62) heteroaryl as defined in L herein;

(63) substituted heteroaryl as defined in M herein;

(64) heterocyclic as defined in N herein;

(65) substituted heterocyclic as defined in O herein;

(66) cycloalkoxy as defined in E^1 herein;

(67) substituted cycloalkoxy as defined in F^1 herein;

(68) heteroaryloxy as defined in K^1 herein;

(69) substituted heteroaryloxy as defined in L^1 herein;

(70) heterocyclyloxy as defined in M^1 herein;

(71) substituted heterocyclyloxy as defined in N^1 herein;

(72) oxycarbonylamino as defined in Y^1 herein;

(73) oxythiocarbonylamino as defined in Z^1 herein;

(74) $-S(O)_2$-alkyl wherein alkyl is defined in B herein;

(75) -$S(O)_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(76) -$S(O)_2$-cycloalkyl wherein cycloalkyl is defined in F herein;

(77) -$S(O)_2$-substituted cycloalkyl wherein substituted cycloalkyl is defined in G herein;

(78) -$S(O)_2$-alkenyl wherein alkenyl is defined in D herein;

(79) -$S(O)_2$-substituted alkenyl wherein substituted alkenyl is defined in E herein;

(80) -$S(O)_2$-aryl wherein aryl is defined in J herein;

(81) -$S(O)_2$-substituted aryl wherein substituted aryl is defined in K herein;

(82) -$S(O)_2$-heteroaryl wherein heteroaryl is defined in L herein;

(83) -$S(O)_2$-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(84) -$S(O)_2$-heterocyclic wherein heterocyclic is defined in N herein;

(85) -$S(O)_2$-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(86) -$OS(O)_2$-alkyl wherein alkyl is defined in B herein;

(87) -$OS(O)_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(88) -$OS(O)_2$-aryl wherein aryl is defined in J herein;

(89) -$OS(O)_2$-substituted aryl wherein substituted aryl is defined in K herein;

(90) -$OS(O)_2$-heteroaryl wherein heteroaryl is defined in L herein;

(91) -$OS(O)_2$-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(92) -$OS(O)_2$-heterocyclic wherein heterocyclic is defined in N herein;

(93) -$OS(O)_2$-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(94) $-OSO_2-NRR$ where R is:

(a) hydrogen; or

(b) alkyl as defined in B herein;

(95) $-NRS(O)_2$-alkyl wherein alkyl is defined in B herein;

(96) $-NRS(O)_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(97) $-NRS(O)_2$-aryl wherein aryl is defined in J herein;

(98) $-NRS(O)_2$-substituted aryl wherein substituted aryl is defined in K herein;

(99) $-NRS(O)_2$-heteroaryl wherein heteroaryl is defined in L herein;

(100) $-NRS(O)_2$-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(101) $-NRS(O)_2$-heterocyclic wherein heterocyclic is defined in N herein;

(102) $-NRS(O)_2$-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(103) $-NRS(O)_2-NR$-alkyl wherein alkyl is defined in B herein;

(104) $-NRS(O)_2-NR$-substituted alkyl wherein substituted alkyl is defined in C herein;

(105) $-NRS(O)_2-NR$-aryl wherein aryl is defined in J herein;

(106) $-NRS(O)_2-NR$-substituted aryl wherein substituted aryl is defined in K herein;

(107) $-NRS(O)_2-NR$-heteroaryl wherein heteroaryl is defined in L herein;

(108) $-NRS(O)_2-NR$-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(109) $-NRS(O)_2-NR$-heterocyclic wherein heterocyclic is defined in N herein;

(110)-$NRS(O)_2$-NR-substituted heterocyclic wherein substituted heterocyclic is defined in O herein and where R is:

(a) hydrogen; or

(b) alkyl as defined in B herein;

(111) mono- and di-alkylamino wherein alkylamino is defined in $I^2$9 herein;

(112) mono- and di-(substituted alkyl)amino wherein substituted alkylamino is defined in $I^2$10 herein;

(113) mono- and di-arylamino wherein aryl is defined in J herein and amino is defined in C7 herein;

(114) mono- and di-substituted arylamino wherein substituted aryl is defined in K herein and amino is defined in C7 herein;

(115) mono- and di-heteroarylamino wherein heteroaryl is defined in L herein and amino is defined in C7 herein;

(116) mono- and di-substituted heteroarylamino wherein substituted heteroaryl is defined in M herein and amino is defined in C7 herein;

(117) mono- and di-heterocyclic amino wherein heterocyclic is defined in N herein and amino is defined in C7 herein;

(118) mono- and di-substituted heterocyclic amino wherein substituted heterocyclic is defined in O herein and amino is defined in C7 herein;

(119) unsymmetric di-substituted amines having different substituents selected from:

(a) alkyl as defined in B herein;

(b) substituted alkyl as defined in C herein;

(c) aryl as defined in J herein;

(d) substituted aryl as defined in K herein;

(e) heteroaryl as defined in L herein;

(f) substituted heteroaryl as defined in M herein;

(g) heterocyclic as defined in N herein;

(h) substituted heterocyclic as defined in O herein; and

(i) amino groups, as defined in C7 herein, on the substituted aryl blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or substituted with -SO_2NRR where R is:

(i) hydrogen; or

(ii) alkyl as defined in B herein;

(N) heterocyclic of from 1 to 10 carbon atoms and from 1 to 4 heteroatoms selected from nitrogen, sulfur or oxygen within the ring, wherein one or more of the rings can be aryl, as defined in J herein, or heteroaryl as defined in L herein; and

(O) substituted heterocyclic of from 1 to 10 carbon atoms and from 1 to 4 heteroatoms which are substituted with from 1 to 3 substituents selected from the group consisting of:

(1) oxo (=O);

(2) thioxo (=S);

(3) alkoxy as defined in V herein;

(4) substituted alkoxy as defined in B^1 herein;

(5) acyl as defined in R^1 herein;

(6) acylamino as defined in S^1 herein;

(7) thiocarbonylamino as defined in B^2 herein;

(8) acyloxy as defined in T^1 herein;

(9) amino as defined in C7 herein;

(10) amidino as defined in C8 herein;

(11) alkylamidino wherein alkyl is defined in B herein and amidino is defined in C8 herein;

(12) thioamidino as defined in A^2 herein;

(13) aminoacyl as defined in U^1 herein;

(14) aminocarbonylamino as defined in V^1 herein;

(15) aminothiocarbonylamino as defined in W^1 herein;

(16) aminocarbonyloxy as defined in X^1 herein;

(17) aryl as defined in J herein;

(18) substituted aryl as defined in K herein;

(19) aryloxy as defined in I^1 herein;

(20) substituted aryloxy as defined in J^1 herein;

(21) aryloxyaryl as defined in C19 herein;

(22) substituted aryloxyaryl as defined in C20 herein;

(23) halogen as defined in Q herein;

(24) hydroxyl;

(25) cyano;

(26) nitro;

(27) carboxyl;

(28) carboxylalkyl wherein alkyl is defined in B herein;

(29) carboxyl-substituted alkyl wherein substituted alkyl is defined in C herein;

(30) carboxyl-cycloalkyl wherein cycloalkyl is defined in F herein;

(31) carboxyl-substituted cycloalkyl wherein substituted cycloalkyl is defined in G herein;

(32) carboxylaryl wherein aryl is defined in J herein;

(33) carboxyl-substituted aryl wherein substituted aryl is defined in K herein;

(34) carboxylheteroaryl wherein heteroaryl is defined in L herein;

(35) carboxyl-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(36) carboxylheterocyclic wherein heterocyclic is defined in N herein;

(37) carboxyl-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(38) cycloalkyl as defined in F herein;

(39) substituted cycloalkyl as defined in G herein;

(40) guanidino as defined in C38 herein;

(41) guanidinosulfone as defined in C39 herein;

(42) thiol as defined in Q^2(38) herein;

(43) thioalkyl as defined in X herein;

(44) substituted thioalkyl as defined in C42 herein;

(45) thioaryl as defined in C43 herein;

(46) substituted thioaryl as defined in C44 herein;

(47) thiocycloalkyl as defined in C45 herein;

(48) substituted thiocycloalkyl as defined in C46 herein;

(49) thioheteroaryl as defined in C47 herein;

(50) substituted thioheteroaryl as defined in C48 herein;

(51) thioheterocyclic as defined in C49 herein;

(52) substituted thioheterocyclic as defined in C50 herein;

(53) heteroaryl as defined in L herein;

(54) substituted heteroaryl as defined in M herein;

(55) heterocyclic as defined in N herein;

(56) substituted heterocyclic as defined in O herein;

(57) cycloalkoxy as defined in E^1 herein;

(58) substituted cycloalkoxy as defined in F^1 herein;

(59) heteroaryloxy as defined in K^1 herein;

(60) substituted heteroaryloxy as defined in L^1 herein;

(61) heterocyclyloxy as defined in M^1 herein;

(62) substituted heterocyclyloxy as defined in N^1 herein;

(63) oxycarbonylamino as defined in Y^1 herein;

(64) oxythiocarbonylamino as defined in Z^1 herein;

(65) -$OS(O)_2$-alkyl wherein alkyl is defined in B herein;

(66) -$OS(O)_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(67) -$OS(O)_2$-aryl wherein aryl is defined in J herein;

(68) -$OS(O)_2$-substituted aryl wherein substituted aryl is defined in K herein;

(69) -$OS(O)_2$-heteroaryl wherein heteroaryl is defined in L herein;

(70) -$OS(O)_2$-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(71) -$OS(O)_2$-heterocyclic wherein heterocyclic is defined in N herein;

(72) -$OS(O)_2$-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(73) -OSO_2-NRR where R is:

(a) hydrogen; or

(b) alkyl as defined in B herein;

(74) -$NRS(O)_2$-alkyl wherein alkyl is defined in B herein;

(75) -$NRS(O)_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(76) -$NRS(O)_2$-aryl wherein aryl is defined in J herein;

(77) -$NRS(O)_2$-substituted aryl wherein substituted aryl is defined in K herein;

(78) -$NRS(O)_2$-heteroaryl wherein heteroaryl is defined in L herein;

(79) -$NRS(O)_2$-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(80) -$NRS(O)_2$-heterocyclic wherein heterocyclic is defined in N herein;

(81) -$NRS(O)_2$-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(82) -$NRS(O)_2$-NR-alkyl wherein alkyl is defined in B herein;

(83) -NRS(O)$_2$-NR-substituted alkyl wherein substituted alkyl is defined in C herein;

(84) -NRS(O)$_2$-NR-aryl wherein aryl is defined in J herein;

(85) -NRS(O)$_2$-NR-substituted aryl wherein substituted aryl is defined in K herein;

(86) -NRS(O)$_2$-NR-heteroaryl wherein heteroaryl is defined in L herein;

(87) -NRS(O)$_2$-NR-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(88) -NRS(O)$_2$-NR-heterocyclic wherein heterocyclic is defined in N herein;

(89) -NRS(O)$_2$-NR-substituted heterocyclic wherein substituted heterocyclic is defined in O herein and where R is:

(a) hydrogen; or

(b) alkyl as defined in B herein;

(90) mono- and di-alkylamino wherein alkylamino is defined in I^{2}9 herein;

(91) mono- and di-(substituted alkyl)amino wherein substituted alkylamino is defined in I^{2}10 herein;

(92) mono- and di-arylamino wherein aryl is defined in J herein and amino is defined in C7 herein;

(93) mono- and di-substituted arylamino wherein substituted aryl is defined in K herein and amino is defined in C7 herein;

(94) mono- and di-heteroarylamino wherein heteroaryl is defined in L herein and amino is defined in C7 herein;

(95) mono- and di-substituted heteroarylamino wherein substituted heteroaryl is defined in M herein and amino is defined in C7 herein;

(96) mono- and di-heterocyclic amino wherein heterocyclic is defined in N herein and amino is defined in C7 herein;

(97) mono- and di-substituted heterocyclic amino wherein substituted heterocyclic is defined in O herein and amino is defined in C7 herein;

(98) unsymmetric di-substituted amines having different substituents selected from:

(a) alkyl as defined in B herein;

(b) substituted alkyl as defined in C herein;

(c) aryl as defined in J herein;

(d) substituted aryl as defined in K herein;

(e) heteroaryl as defined in L herein;

(f) substituted heteroaryl as defined in M herein;

(g) heterocyclic as defined in N herein;

(h) substituted heterocyclic as defined in O herein; and

(i) substituted alkynyl groups, wherein substituted alkynyl is defined in $Q^2$31 herein, having amino groups blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or alkynyl/ groups substituted with:

(i) -SO_2-alkyl wherein alkyl is defined in B herein;

(ii) -SO_2-substituted alkyl wherein substituted alkyl is defined in C herein;

(iii) -SO_2-alkenyl wherein alkenyl is defined in D herein;

(iv)-SO_2-substituted alkenyl wherein substituted alkenyl is defined in E herein;

(v) -SO_2-cycloalkyl wherein cycloalkyl is defined in F herein;

(vi)-SO_2-substituted cycloalkyl wherein substituted cycloalkyl is defined in G herein;

(vii) -SO_2-aryl wherein aryl is defined in J herein;

(viii) -SO_2-substituted aryl wherein substituted aryl is defined in K herein;

(ix)-SO_2-heteroaryl wherein heteroaryl is defined in L herein;

(x) -SO_2-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(xi)-SO_2-heterocyclic wherein heterocyclic is defined in N herein;

(xii) -SO_2-substituted heterocyclic wherein substituted heterocyclic is defined in O herein; and

(xiii) -SO_2NRR where R is:

(*a*) hydrogen; or

(*b*) alkyl as defined in B herein;

R^3 and R^{3a} are independently selected from the group consisting of:

(P) hydrogen;

(Q) halogen or halo referring to fluoro, chloro, bromo and iodo;

(R) alkyl as defined in B above;

(S) substituted alkyl as defined in C above;

(T) alkenyl as defined in D herein;

(U) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;

(V) alkoxy having the formula "alkyl-O-";

(W) haloalkoxy wherein halo is defined in Q herein and alkoxy is defined in V herein;

(X) thioalkyl having the formula "-S-alkyl"; or

(Y) -$(Alk^b)_mR^b$ in which Alk^b is a C_{1-3}alkylene chain, m is 0 or 1 and R^b is:

(1) hydroxy;

(2) thiol as defined in Q^2(38) herein;

(3) nitro;

(4) cyano;

(5) carboxy;

(6) $-CO_2R^c$ wherein R^c is alkyl as defined in B herein;

(7) $-SO_3H$;

(8) $-SOR^c$ wherein R^c is alkyl as defined in B herein;

(9) $-SO_2R^c$ wherein R^c is alkyl as defined in B herein;

(10) $-SO_3R^c$ wherein R^c is alkyl as defined in B herein;

(11) $-OCO_2R^c$ wherein R^c is alkyl as defined in B herein;

(12) -C(O)H;

(13) $-COR^c$ wherein R^c is alkyl as defined in B herein;

(14) $-OCOR^c$ wherein R^c is alkyl as defined in B herein;

(15) $-CSR^c$ wherein R^c is alkyl as defined in B herein;

(16) $-Nr^dR^e$ wherein R^d and R^e are independently hydrogen, alkyl as defined in B herein, or substituted alkyl as defined in C herein;

(17) $-CONR^dR^e$ wherein R^d and R^e are independently hydrogen, alkyl as defined in B herein, or substituted alkyl as defined in C herein;

(18) $-OCONR^dR^e$ wherein R^d and R^e are independently hydrogen, alkyl as defined in B herein, or substituted alkyl as defined in C herein;

(19) $-Nr^dCOR^e$ wherein R^d and R^e are independently hydrogen, alkyl as defined in B herein, or substituted alkyl as defined in C herein;

(20) $-CSNR^dR^e$ wherein R^d and R^e are independently hydrogen, alkyl as defined in B herein, or substituted alkyl as defined in C herein;

(21) $-Nr^dCSR^e$ wherein R^d and R^e are independently hydrogen, alkyl as defined in B herein, or substituted alkyl as defined in C herein;

(22) $-SO_2NR^dR^e$ wherein R^d and R^e are independently hydrogen, alkyl as defined in B herein, or substituted alkyl as defined in C herein;

(23) $-Nr^dSO_2R^e$ wherein R^d and R^e are independently hydrogen, alkyl as defined in B herein, or substituted alkyl as defined in C herein;

(24) $-Nr^dCONR^eR^f$ wherein R^d and R^e are independently hydrogen, alkyl as defined in B herein, or substituted alkyl as defined in C herein; and where R^f is hydrogen alkyl as defined in B herein, or substituted alkyl as defined in C herein; or

(25) ~~$-Nr^dSO_2NR^eR^f$~~ $\underline{-NR^dSO_2NR^eR^f}$ wherein R^d and R^e are independently hydrogen, alkyl as defined in B herein, or substituted alkyl as defined in C herein; and where R^f is hydrogen, alkyl as defined in B herein, or substituted alkyl as defined in C herein.

X is selected from the group consisting of:

(Z) hydroxyl;

(A^1) alkoxy as defined in V herein;

(B^1) substituted alkoxy having the formula "substituted alkyl-O-";

(C^1) alkenoxy having the formula "alkenyl-O-";

(D^1) substituted alkenoxy having the formula "substituted alkenyl-O-";

(E^1) cycloalkoxy having the formula "-O-cycloalkyl";

(F^1) substituted cycloalkoxy having the formula "-O-substituted cycloalkyl";

(G^1) cycloalkenoxy having the formula "-O-cycloalkenyl";

(H^1) substituted cycloalkenoxy having the formula "-O-substituted cycloalkenyl";

(I^1) aryloxy having the formula "aryl-O-";

(J^1) substituted aryloxy having the formula "substituted aryl-O-;

(K^1) heteroaryloxy having the formula "-O-heteroaryl";

(L^1) substituted heteroaryloxy having the formula "-O-substituted heteroaryl";

(M^1) heterocyclyloxy having the formula "-O-heterocyclic";

(N^1) substituted heterocyclyloxy having the formula "-O-substituted heterocyclic"; and

(O^1) -NR″R″ where each R″ is independently selected from the group consisting of:

(1) hydrogen;

(2) alkyl as defined in B herein;

(3) substituted alkyl as defined in C herein;

(4) alkenyl as defined in D herein;

(5) substituted alkenyl as defined in E herein;

(6) cycloalkyl as defined in F herein;

(7) substituted cycloalkyl as defined in G herein;

(8) aryl as defined in J herein;

(9) substituted aryl as defined in K herein;

(10) heteroaryl as defined in L herein;

(11) substituted heteroaryl as defined in M herein;

(12) heterocyclic as defined in N herein; and

(13) substituted heterocyclic as defined in O herein;

R^{2a} is either:

(i) an $-Ar^1-R^9$ group where Ar^1 is:

(P^1) aryl as defined in J herein; or

(Q^1) heteroaryl, as defined in L herein, optionally substituted with one or two substituents selected from the group consisting of:

(1) hydroxy;

(2) acyl as defined in R^1 herein;

(3) acylamino as defined in S^1 herein;

(4) aminoacyl as defined in U^1 herein;

(5) acyloxy as defined in T^1 herein;

(6) alkyl as defined in B herein;

(7) substituted alkyl as defined in C herein;

(8) alkoxy as defined in V herein;

(9) substituted alkoxy as defined in B^1 herein;

(10) amino as defined in C7 herein;

(11) aminoacyl as defined in U^1 herein;

(12) aminocarbonyloxy as defined in X^1 herein;

(13) carboxyl;

(14) carboxylalkyl wherein alkyl is defined in B herein;

(15) carboxylamido;

(16) cyano;

(17) thiol as defined in Q^2(38) herein;

(18) thioalkyl as defined in X herein;

(19) substituted thioalkyl as defined in C42 herein;

(20) halo as defined in Q herein;

(21) nitro;

provided that said acyl, acylamino, acyloxy, substituted alkyl, substituted alkoxy and substituted thioalkyl do not carry an aryl, substituted aryl, heteroaryl or substituted heteroaryl group; and

R^9 is selected from the group consisting of:

(R^1) acyl selected from H-C(O)-, alkyl-C(O)-, substituted alkyl-C(O)-, alkenyl-C(O)-, substituted alkenyl-C(O)-, alkynyl-C(O)-, substituted alkynyl-C(O)- cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, substituted aryl-C(O)-, heteroaryl-C(O)-, substituted heteroaryl-C(O), heterocyclic-C(O)-, and substituted heterocyclic-C(O)-, wherein alkyl is defined in B herein; wherein substituted alkyl is defined in C herein; wherein alkenyl is defined in D herein; wherein substituted alkenyl is defined in E herein; wherein alkynyl is defined in U herein; wherein substituted alkynyl is defined in $Q^2$31 herein; wherein cycloalkyl is defined in F herein; wherein substituted cycloalkyl is defined in G herein; wherein aryl is defined in J herein; wherein

substituted aryl is defined in K herein; wherein heteroaryl is defined in L herein; wherein substituted heteroaryl is defined in M herein; wherein heterocyclic is defined in N herein; and wherein substituted heterocyclic is defined in O herein;

(S^1) acylamino selected from the group -C(O)NRR where each R is independently selected from the group consisting of:

(1) hydrogen;

(2) alkyl as defined in B herein;

(3) substituted alkyl as defined in C herein;

(4) alkenyl as defined in D herein;

(5) substituted alkenyl as defined in E herein;

(6) alkynyl as defined in U herein;

(7) substituted alkynyl as defined in $Q^2$31 herein;

(8) aryl as defined in J herein;

(9) substituted aryl as defined in K herein;

(10) cycloalkyl as defined in F herein;

(11) substituted cycloalkyl as defined in G herein;

(12) heteroaryl as defined in L herein;

(13) substituted heteroaryl as defined in M herein;

(14) heterocyclic as defined in N herein;

(15) substituted heterocyclic as defined in O herein; and

(16) where each R is joined to form together with the nitrogen atom a heterocyclic or substituted heterocyclic ring wherein alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic are as defined herein;

(T^1) acyloxy selected from the groups alkyl-C(O)O-, substituted alkyl-C(O)O-, alkenyl-C(O)O-, substituted alkenyl-C(O)O-, alkynyl-C(O)O-, substituted alkynyl-C(O)O-, aryl-C(O)O-, substituted aryl-C(O)O-,

cycloalkyl-C(O)O-, substituted cycloalkyl-C(O)O-, heteroaryl-C(O)O-, substituted heteroaryl-C(O)O-, heterocyclic-C(O)O-, and substituted heterocyclic-C(O)O-wherein alkyl is defined in B herein; wherein substituted alkyl is defined in C herein; wherein alkenyl is defined in D herein; wherein substituted alkenyl is defined in E herein; wherein alkynyl is defined in U herein; wherein substituted alkynyl is defined in $Q^2$31 herein; wherein cycloalkyl is defined in F herein; wherein substituted cycloalkyl is defined in G herein; wherein aryl is defined in J herein; wherein substituted aryl is defined in K herein; wherein heteroaryl is defined in L herein; wherein substituted heteroaryl is defined in M herein; wherein heterocyclic is defined in N herein; and wherein substituted heterocyclic is defined in O herein;

(U^1) aminoacyl having the formula -NRC(O)alkyl, -NRC(O)substituted alkyl, -NRC(O)cycloalkyl, -NRC(O)substituted cycloalkyl, -NRC(O)alkenyl, -NRC(O)substituted alkenyl, -NRC(O)alkynyl, -NRC(O)substituted alkynyl, -NRC(O)aryl, -NRC(O)substituted aryl, -NRC(O)heteroaryl, -NRC(O) substituted heteroaryl, -NRC(O)heterocyclic, and -NRC(O)substituted heterocyclic where R is hydrogen or alkyl and wherein alkyl is defined in B herein; wherein substituted alkyl is defined in C herein; wherein alkenyl is defined in D herein; wherein substituted alkenyl is defined in E herein; wherein alkynyl is defined in U herein; wherein substituted alkynyl is defined in $Q^2$31 herein; wherein cycloalkyl is defined in F herein; wherein substituted cycloalkyl is defined in G herein; wherein aryl is defined in J herein; wherein substituted aryl is defined in K herein; wherein heteroaryl is defined in L herein; wherein substituted heteroaryl is defined in M herein; wherein heterocyclic is defined in N herein; and wherein substituted heterocyclic is defined in O herein;

(V^1) aminocarbonylamino formula -NRC(O)NRR, -NRC(O)NR-alkyl, -NRC(O)NR-substituted alkyl, -NRC(O)NR-alkenyl, -NRC(O)NR-substituted alkenyl, -NRC(O)NR-alkynyl, -NRC(O)NR-substituted alkynyl, -NRC(O)NR-aryl, -NRC(O)NR-substituted aryl, -NRC(O)NR-cycloalkyl, -NRC(O)NR-substituted cycloalkyl, -NRC(O)NR-heteroaryl, and -NRC(O)NR-substituted heteroaryl, -NRC(O)NR-heterocyclic, and -NRC(O)NR-substituted heterocyclic where each R is independently hydrogen, alkyl or where each R is joined to form together with the nitrogen atom a heterocyclic or substituted heterocyclic ring as well as where one of the amino groups is blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like and wherein alkyl is defined in B herein; wherein substituted alkyl is defined in C herein; wherein alkenyl is defined in D herein; wherein substituted alkenyl is defined in E herein; wherein alkynyl is defined in U herein; wherein substituted alkynyl is defined in $Q^2$31 herein; wherein cycloalkyl is defined in F herein; wherein substituted cycloalkyl is defined in G herein; wherein aryl is defined in J herein; wherein substituted aryl is defined in K herein; wherein heteroaryl is defined in L herein; wherein substituted heteroaryl is defined in M herein; wherein heterocyclic is defined in N herein; and wherein substituted heterocyclic is defined in O herein;

(W^1) aminothiocarbonylamino having the formula -NRC(S)NRR, -NRC(S)NR-alkyl, -NRC(S)NR-substituted alkyl, -NRC(S)NR-alkenyl, -NRC(S)NR-substituted alkenyl, -NRC(S)NR-alkynyl, -NRC(S)NR-substituted alkynyl, -NRC(S)NR-aryl, -NRC(S)NR-substituted aryl, -NRC(S)NR-cycloalkyl, -NRC(S)NR-substituted cycloalkyl, -NRC(S)NR-heteroaryl, and -NRC(S)NR-substituted heteroaryl, -NRC(S)NR-heterocyclic, and -NRC(S)NR-substituted heterocyclic where each R is independently hydrogen, alkyl or where

each R is joined to form together with the nitrogen atom a heterocyclic or substituted heterocyclic ring as well as where one of the amino groups is blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like and wherein alkyl is defined in B herein; wherein substituted alkyl is defined in C herein; wherein alkenyl is defined in D herein; wherein substituted alkenyl is defined in E herein; wherein alkynyl is defined in U herein; wherein substituted alkynyl is defined in $Q^2$31 herein; wherein cycloalkyl is defined in F herein; wherein substituted cycloalkyl is defined in G herein; wherein aryl is defined in J herein; wherein substituted aryl is defined in K herein; wherein heteroaryl is defined in L herein; wherein substituted heteroaryl is defined in M herein; wherein heterocyclic is defined in N herein; and wherein substituted heterocyclic is defined in O herein;

(X^1) aminocarbonyloxy having the formula -NRC(O)O-alkyl, -NRC(O)O-substituted alkyl, -NRC(O)O-alkenyl, -NRC(O)O-substituted alkenyl, -NRC(O)O-alkynyl, -NRC(O)O-substituted alkynyl, -NRC(O)O-cycloalkyl, -NRC(O)O-substituted cycloalkyl, -NRC(O)O-aryl, -NRC(O)O-substituted aryl, -NRC(O)O-heteroaryl, -NRC(O)O-substituted heteroaryl, -NRC(O)O-heterocyclic, and -NRC(O)O-substituted heterocyclic where R is hydrogen or alkyl and wherein alkyl is defined in B herein; wherein substituted alkyl is defined in C herein; wherein alkenyl is defined in D herein; wherein substituted alkenyl is defined in E herein; wherein alkynyl is defined in U herein; wherein substituted alkynyl is defined in $Q^2$31 herein; wherein cycloalkyl is defined in F herein; wherein substituted cycloalkyl is defined in G herein; wherein aryl is defined in J herein; wherein substituted aryl is defined in K herein; wherein heteroaryl is defined in L herein; wherein substituted heteroaryl is defined in M herein;

wherein heterocyclic is defined in N herein; and wherein substituted heterocyclic is defined in O herein;

(Y^1) oxycarbonylamino having the formula -OC(O)NH_2, -OC(O)NRR, -OC(O)NR-alkyl, -OC(O)NR-substituted alkyl, -OC(O)NR-alkenyl, -OC(O)NR-substituted alkenyl, -OC(O)NR-alkynyl, -OC(O)NR-substituted alkynyl, -OC(O)NR-cycloalkyl, -OC(O)NR-substituted cycloalkyl, -OC(O)NR-aryl, -OC(O)NR-substituted aryl, -OC(O)NR-heteroaryl, -OC(O)NR-substituted heteroaryl,- OC(O)NR-heterocyclic, and -OC(O)NR-substituted heterocyclic where R is hydrogen, alkyl or where each R is joined to form, together with the nitrogen atom a heterocyclic or substituted heterocyclic ring and wherein alkyl is defined in B herein; wherein substituted alkyl is defined in C herein; wherein alkenyl is defined in D herein; wherein substituted alkenyl is defined in E herein; wherein alkynyl is defined in U herein; wherein substituted alkynyl is defined in $Q^2$31 herein; wherein cycloalkyl is defined in F herein; wherein substituted cycloalkyl is defined in G herein; wherein aryl is defined in J herein; wherein substituted aryl is defined in K herein; wherein heteroaryl is defined in L herein; wherein substituted heteroaryl is defined in M herein; wherein heterocyclic is defined in N herein; and wherein substituted heterocyclic is defined in O herein;

(Z^1) oxythiocarbonylamino having the formula -OC(S)NH_2,-OC(S)NRR, -OC(S)NR-alkyl, -OC(S)NR-substituted alkyl, -OC(S)NR-alkenyl, -OC(S)NR-substituted alkenyl, -OC(S)NR-alkynyl, -OC(S)NR-substituted alkynyl, -OC(S)NR-cycloalkyl, -OC(S)NR-substituted cycloalkyl, -OC(S)NR-aryl, -OC(S)NR-substituted aryl, -OC(S)NR-heteroaryl, -OC(S)NR-substituted heteroaryl, -OC(S)NR-heterocyclic, and -OC(S)NR-substituted heterocyclic where R is hydrogen, alkyl or where each R is joined to form together with the nitrogen atom a

heterocyclic or substituted heterocyclic ring and wherein alkyl is defined in B herein; wherein substituted alkyl is defined in C herein; wherein alkenyl is defined in D herein; wherein substituted alkenyl is defined in E herein; wherein alkynyl is defined in U herein; wherein substituted alkynyl is defined in $Q^2$31 herein; wherein cycloalkyl is defined in F herein; wherein substituted cycloalkyl is defined in G herein; wherein aryl is defined in J herein; wherein substituted aryl is defined in K herein; wherein heteroaryl is defined in L herein; wherein substituted heteroaryl is defined in M herein; wherein heterocyclic is defined in N herein; and wherein substituted heterocyclic is defined in O herein;

(A^2) thioamidino having the formula "RSC(=NH)-";

(B^2) thiocarbonylamino selected from the group -C(S)NRR where each R is independently selected from the group consisting of:

(1) hydrogen;

(2) alkyl as defined in B herein;

(3) substituted alkyl as defined in C herein;

(4) alkenyl as defined in D herein;

(5) substituted alkenyl as defined in E herein;

(6) alkynyl as defined in U herein;

(7) substituted alkynyl as defined in $Q^2$31 herein;

(8) aryl as defined in J herein;

(9) substituted aryl as defined in K herein;

(10) cycloalkyl as defined in F herein;

(11) substituted cycloalkyl as defined in G herein;

(12) heteroaryl as defined in L herein;

(13) substituted heteroaryl as defined in M herein;

(14) heterocyclic as defined in N herein;

(15) substituted heterocyclic as defined in O herein; and

(16) where each R is joined to form, together with the nitrogen atom a heterocyclic or substituted heterocyclic ring wherein alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, and substituted heterocyclic are as defined herein;

(C^2) aminosulfonylamino having the formula $-NRSO_2NRR$, $-NRSO_2NR$-alkyl, $-NRSO_2NR$-substituted alkyl, $-NRSO_2NR$-alkenyl, $-NRSO_2NR$-substituted alkenyl, $-NRSO_2NR$-alkynyl, $-NRSO_2NR$-substituted alkynyl, $-NRSO_2NR$-aryl, $-NRSO_2NR$-substituted aryl, $-NRSO_2NR$-cycloalkyl, $-NRSO_2NR$-substituted cycloalkyl, $-NRSO_2NR$-heteroaryl, and $-NRSO_2NR$-substituted heteroaryl, $-NRSO_2NR$-heterocyclic, and $-NRSO_2NR$-substituted heterocyclic, where each R is independently hydrogen, alkyl or where each R is joined to form together with the nitrogen atom a heterocyclic or substituted heterocyclic ring as well as where one of the amino groups is blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like and wherein alkyl is defined in B herein; wherein substituted alkyl is defined in C herein; wherein alkenyl is defined in D herein; wherein substituted alkenyl is defined in E herein; wherein alkynyl is defined in U herein; wherein substituted alkynyl is defined in $Q^2$31 herein; wherein cycloalkyl is defined in F herein; wherein substituted cycloalkyl is defined in G herein; wherein aryl is defined in J herein; wherein substituted aryl is defined in K herein; wherein heteroaryl is defined in L herein; wherein substituted heteroaryl is defined in M herein; wherein heterocyclic is defined in N herein; and wherein substituted heterocyclic is defined in O herein;

(D^2) aminosulfonyloxy having the formula $-NRSO_2O$-alkyl, $-NRSO_2O$-substituted alkyl, $-NRSO_2O$-alkenyl, $-NRSO_2O$-substituted alkenyl, $-NRSO_2O$-alkynyl, $-NRSO_2O$-substituted alkynyl, $-NRSO_2O$-

cycloalkyl, -$NRSO_2O$-substituted cycloalkyl, -$NRSO_2O$-aryl, -$NRSO_2O$-substituted aryl, -$NRSO_2O$-heteroaryl, -$NRSO_2O$-substituted heteroaryl, -$NRSO_2O$-heterocyclic, and -$NRSO_2O$-substituted heterocyclic where R is hydrogen or alkyl and wherein alkyl is defined in B herein; wherein substituted alkyl is defined in C herein; wherein alkenyl is defined in D herein; wherein substituted alkenyl is defined in E herein; wherein alkynyl is defined in U herein; wherein substituted alkynyl is defined in $Q^2$31 herein; wherein cycloalkyl is defined in F herein; wherein substituted cycloalkyl is defined in G herein; wherein aryl is defined in J herein; wherein substituted aryl is defined in K herein; wherein heteroaryl is defined in L herein; wherein substituted heteroaryl is defined in M herein; wherein heterocyclic is defined in N herein; and wherein substituted heterocyclic is defined in O herein;

(E^2) aminosulfonyl having the formula -$NRSO_2$alkyl, -$NRSO_2$substituted alkyl, -$NRSO_2$cycloalkyl, -$NRSO_2$substituted cycloalkyl, -$NRSO_2$alkenyl, -$NRSO_2$substituted alkenyl, -$NRSO_2$alkynyl, -$NRSO_2$substituted alkynyl, -$NRSO_2$aryl, -$NRSO_2$substituted aryl, -$NRSO_2$heteroaryl, -$NRSO_2$substituted heteroaryl, -$NRSO_2$heterocyclic, and -$NRSO_2$substituted heterocyclic where R is hydrogen or alkyl and wherein alkyl is defined in B herein; wherein substituted alkyl is defined in C herein; wherein alkenyl is defined in D herein; wherein substituted alkenyl is defined in E herein; wherein alkynyl is defined in U herein; wherein substituted alkynyl is defined in $Q^2$31 herein; wherein cycloalkyl is defined in F herein; wherein substituted cycloalkyl is defined in G herein; wherein aryl is defined in J herein; wherein substituted aryl is defined in K herein; wherein heteroaryl is defined in L herein; wherein substituted heteroaryl is

defined in M herein; wherein heterocyclic is defined in N herein; and wherein substituted heterocyclic is defined in O herein;

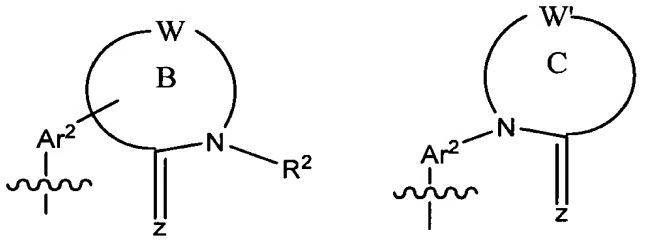
(F^2) oxysulfonylamino having the formula $-OSO_2NH_2$, $-OSO_2NRR$, $-OSO_2NR$-alkyl, $-OSO_2NR$-substituted alkyl, $-OSO_2NR$-alkenyl, $-OSO_2NR$-substituted alkenyl, $-OSO_2NR$-alkynyl, $-OSO_2NR$-substituted alkynyl, $-OSO_2NR$-cycloalkyl, $-OSO_2NR$-substituted cycloalkyl, $-OSO_2NR$-aryl, $-OSO_2NR$-substituted aryl, $-OSO_2NR$-heteroaryl, $-OSO_2NR$-substituted heteroaryl, $-OSO_2NR$-heterocyclic, and $-OSO_2NR$-substituted heterocyclic where R is hydrogen, alkyl or where each R is joined to form, together with the nitrogen atom a heterocyclic or substituted heterocyclic ring and wherein alkyl is defined in B herein; wherein substituted alkyl is defined in C herein; wherein alkenyl is defined in D herein; wherein substituted alkenyl is defined in E herein; wherein alkynyl is defined in U herein; wherein substituted alkynyl is defined in Q^231 herein; wherein cycloalkyl is defined in F herein; wherein substituted cycloalkyl is defined in G herein; wherein aryl is defined in J herein; wherein substituted aryl is defined in K herein; wherein heteroaryl is defined in L herein; wherein substituted heteroaryl is defined in M herein; wherein heterocyclic is defined in N herein; and wherein substituted heterocyclic is defined in O herein; and

(G^2) oxysulfonyl selected from the groups alkyl-SO_2O-, substituted alkyl-SO_2O-, alkenyl-SO_2O-, substituted alkenyl-SO_2O-, alkynyl-SO_2O-, substituted alkynyl-SO_2O-, aryl-SO_2O-, substituted aryl-SO_2O-, cycloalkyl-SO_2O-, substituted cycloalkyl-SO_2O-, heteroaryl-SO_2O-, substituted heteroaryl-SO_2O-, heterocyclic-SO_2O-, and substituted heterocyclic-SO_2O- wherein alkyl is defined in B herein; wherein substituted alkyl is defined in C herein; wherein alkenyl is defined in D herein; wherein substituted alkenyl is defined in E herein; wherein

alkynyl is defined in U herein; wherein substituted alkynyl is defined in $Q^2$31 herein; wherein cycloalkyl is defined in F herein; wherein substituted cycloalkyl is defined in G herein; wherein aryl is defined in J herein; wherein substituted aryl is defined in K herein; wherein heteroaryl is defined in L herein; wherein substituted heteroaryl is defined in M herein; wherein heterocyclic is defined in N herein; and wherein substituted heterocyclic is defined in O herein;

provided that when R^9 is acylamino or acyloxy then the acylamino or acyloxy group does not carry an aryl, substituted aryl, heteroaryl or substituted heteroaryl group; or

(ii) a group of formula (a) or (b):



wherein:

Ar^2 is an:

(H^2) aryl as defined in J herein; or

(I^2) heteroaryl group optionally substituted, in addition to ring B or C, with one or two substituent(s) selected from the group consisting of:

(1) hydrogen;

(2) halogen as defined in Q herein;

(3) hydroxy;

(4) alkoxy as defined in V herein;

(5) substituted alkoxy as defined in B^1 herein;

(6) acyloxy as defined in T^1 herein;

(7) substituted acyloxy;

(8) amino as defined in C7 herein;

(9) alkylamino having the formula -NHR wherein R is alkyl as defined in B herein;

(10) substituted alkylamino having the formula -NHR wherein R is substituted alkyl as defined in C herein;

(11) dialkylamino having the formula -NRR wherein each R is alkyl as defined in B herein;

(12) substituted dialkylamino having the formula -NRR wherein each R is substituted alkyl as defined in C herein;

(13) acylamino as defined in S^1 herein;

(14) substituted acylamino;

(15) N-acyl-N-alkylamino wherein acyl is defined in R^1 herein and alkylamino is defined in $I^2$9 herein;

(16) substituted N-acyl-N-alkylamino wherein acyl is defined in R^1 herein and substituted alkylamino is defined in $I^2$10 herein;

(17) (alkylsulfonyl)amino wherein alkylsulfonyl is defined in C^3 herein and amino is defined in C7 herein;

(18) substituted (alkylsulfonyl)amino wherein substituted alkylsulfonyl is defined in D^3 herein and amino is defined in C7 herein;

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(19) N-(alkylsulfonyl)-N-alkylamino wherein alkylsulfonyl is defined in C^3 herein and alkylamino is defined in $I^2$9 herein;

(20) substituted N-(alkylsulfonyl)-N-alkylamino wherein substituted alkylsulfonyl is defined in D^3 herein and substituted alkylamino is defined in $I^2$10 herein;

(21) alkyl as defined in B herein;

(22) substituted alkyl as defined in C herein;

(23) cycloalkyl as defined in F herein;

(24) substituted cycloalkyl as defined in G herein;

(25) alkenyl as defined in D herein;

(26) substituted alkenyl as defined in E herein;

(27) cycloalkenyl as defined in H herein;

(28) substituted cycloalkenyl as defined in I herein;

(29) alkynyl as defined in U herein;

(30) substituted alkynyl as defined in $Q^2$31 herein;

(31) cyano;

(32) acyl as defined in R^1 herein;

(33) substituted acyl;

(34) carboxy;

(35) substituted carboxy;

(36) thiol as defined in Q^2(38) herein;

(37) alkylthio as defined in X herein;

(38) substituted alkylthio as defined in Z^2 herein;

(39) alkylsulfoxy as defined in A^3 herein;

(40) substituted alkylsulfoxy as defined in B^3 herein;

(41) alkylsulfonyl as defined in C^3 herein; and

(42) substituted alkylsulfonyl as defined in D^3 herein;

Z is -O- or -S-;

B is a group wherein W, together with -C(=Z)NR^2-, forms a saturated or unsaturated heterocyclic group, wherein heterocyclic is defined in N herein, containing 2 to 5 carbon atoms and 0 to 4 additional heteroatoms selected from the group consisting of:

(J^2) nitrogen;

(K^2) oxygen; and

(L^2) $-SO_n-$ (where n is 0 to 2);

wherein said saturated or unsaturated heterocyclic group is optionally fused with one or two ring(s) structures selected from the group consisting of:

(M^2) cycloalkyl as defined in F herein;

(N^2) cycloalkenyl as defined in H herein;

(O^2) heterocyclic as defined in N herein;

(P^2) aryl as defined in J herein; and

(Q^2) heteroaryl group, wherein heteroaryl is as defined in L herein, to form a bi- or tri-fused ring system and further wherein said heterocyclic group and each of such ring structures are optionally substituted with 1 to 3 substituents selected from the group consisting of with one or two substituent(s) selected from the group consisting of:

(1) hydrogen;

(2) halogen as defined in Q herein;

(3) hydroxy;

(4) alkoxy as defined in V herein;

(5) substituted alkoxy as defined in B^1 herein;

(6) acyloxy as defined in T^1 herein;

(7) substituted acyloxy;

(8) amino as defined in C7 herein;

(9) alkylamino as defined in $I^2$9 herein;

(10) substituted alkylamino as defined in $I^2$10 herein;

(11) dialkylamino as defined in $I^2$11 herein;

(12) substituted dialkylamino as defined in $I^2$12 herein;

(13) acylamino as defined in S^1 herein;

(14) substituted acylamino;

(15) N-acyl-N-alkylamino wherein acyl is defined in R^1 herein and alkylamino $I^2$9 herein;

(16) substituted N-acyl-N-alkylamino wherein acyl is defined in R^1 herein and substituted alkylamino is defined in $I^2$10 herein;

(17) alkylene dioxy;

(18) (alkylsulfonyl)amino wherein alkylsulfonyl is defined in C^3 herein and amino is define in C7 herein;

(19) substituted (alkylsulfonyl)amino wherein substituted alkylsulfonyl is defined in D^3 herein and amino is defined in C7 herein;

(20) N-(alkylsulfonyl)-N-alkylamino wherein alkylsulfonyl is defined in C^3 herein and alkylamino is defined in $I^2$9 herein;

(21) substituted N-(alkylsulfonyl)-N-alkylamino wherein substituted alkylsulfonyl is defined in D^3 herein and substituted alkylamino is defined in $I^2$10 herein;

(22) alkyl as defined in B herein;

(23) substituted alkyl as defined in C herein;

(24) cycloalkyl as defined in F herein;

(25) substituted cycloalkyl as defined in G herein;

(26) alkenyl as defined in D herein;

(27) substituted alkenyl as defined in E herein;

(28) cycloalkenyl as defined in H herein;

(29) substituted cycloalkenyl as defined in I herein;

(30) alkynyl as defined in U herein;

(31) substituted alkynyl having from 1 to 5 substituents selected from the group consisting of:

(a) alkoxy as defined in V herein;

(b) substituted alkoxy as defined in B^1 herein;

(c) acyl as defined in R^1 herein;

(d) acylamino as defined in S^1 herein;

(e) thiocarbonylamino as defined in B^2 herein;

(f) acyloxy as defined in T^1 herein;

(g) amino as defined in C7 herein;

(h) amidino as defined in C8 herein;

(i) alkylamidino wherein alkyl is defined in B herein and amidino is defined in C8 herein;

(j) thioamidino as defined in A^2 herein;

(k) aminoacyl as defined in U^1 herein;

(l) aminocarbonylamino as defined in V^1 herein;

(m) aminothiocarbonylamino as defined in W^1 herein;

(n) aminocarbonyloxy as defined in X^1 herein;

(o) aryl as defined in J herein;

(p) substituted aryl as defined in K herein;

(q) aryloxy as defined in I^1 herein;

(r) substituted aryloxy as defined in J^1 herein;

(s) aryloxyaryl as defined in C19 herein;

(t) substituted aryloxyaryl as defined in C20 herein;

(u) halogen as defined in Q herein;

(v) hydroxyl;

(w) cyano;

(x) nitro;

(y) carboxyl;

(z) carboxylalkyl wherein alkyl is defined in B herein;

(a^1) carboxyl-substituted alkyl wherein substituted alkyl is defined in C herein;

(b^1) carboxyl-cycloalkyl wherein cycloalkyl is defined in F herein;

(c^1) carboxyl-substituted cycloalkyl wherein substituted cycloalkyl is defined in G herein;

(d^1) carboxylaryl wherein aryl is defined in J herein;

(e^1) carboxyl-substituted aryl wherein substituted aryl is defined in K herein;

(f^1) carboxylheteroaryl wherein heteroaryl is defined in L herein;

(g^1) carboxyl-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(h^1) carboxylheterocyclic wherein heterocyclic is defined in N herein;

(i^1) carboxyl-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(j^1) cycloalkyl as defined in F herein;

(k^1) substituted cycloalkyl as defined in G herein;

(l^1) guanidino as defined in C38 herein;

(m^1) guanidinosulfone as defined in C39 herein;

(n^1) thiol as defined in Q^2(38) herein;

(o^1) thioalkyl as defined in X herein;

(p^1) substituted thioalkyl as defined in C42 herein;

(q^1) thioaryl as defined in C43 herein;

(r^1) substituted thioaryl as defined in C44 herein;

(s^1) thiocycloalkyl as defined in C45 herein;

(t^1) substituted thiocycloalkyl as defined in C46 herein;

(u^1) thioheteroaryl as defined in C47 herein;

(v^1) substituted thioheteroaryl as defined in C48 herein;

(w^1) thioheterocyclic as defined in C49 herein;

(x^1) substituted thioheterocyclic as defined in C50 herein;

(y^1) heteroaryl as defined in L herein;

(z^1) substituted heteroaryl as defined in M herein;

(a^2) heterocyclic as defined in N herein;

(b^2) substituted heterocyclic as defined in O herein;

(c^2) cycloalkoxy as defined in E^1 herein;

(d^2) substituted cycloalkoxy as defined in F^1 herein;

(e^2) heteroaryloxy as defined in K^1 herein;

(f^2) substituted heteroaryloxy as defined in L^1 herein;

(g^2) heterocyclyloxy as defined in M^1 herein;

(h^2) substituted heterocyclyloxy as defined in N^1 herein;

(i^2) oxycarbonylamino as defined in Y^1 herein;

(j^2) oxythiocarbonylamino as defined in Z^1 herein;

(k^2) $-OS(O)_2$-alkyl wherein alkyl is defined in B herein;

(l^2) $-OS(O)_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(m^2) $-OS(O)_2$-aryl wherein aryl is defined in J herein;

(n^2) $-OS(O)_2$-substituted aryl wherein substituted aryl is defined in K herein;

(o^2) $-OS(O)_2$-heteroaryl wherein heteroaryl is defined in L herein;

(p^2) $-OS(O)_2$-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(q^2) -$OS(O)_2$-heterocyclic wherein heterocyclic is defined in N herein;

(r^2) -$OS(O)_2$-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(s^2) -OSO_2-NRR where R is:

(a) hydrogen; or

(b) alkyl as defined in B herein;

(t^2) -$NRS(O)_2$-alkyl wherein alkyl is defined in B herein;

(u^2) -$NRS(O)_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(v^2) -$NRS(O)_2$-aryl wherein aryl is defined in J herein;

(w^2) -$NRS(O)_2$-substituted aryl wherein substituted aryl is defined in K herein;

(x^2) -$NRS(O)_2$-heteroaryl wherein heteroaryl is defined in L herein;

(y^2) -$NRS(O)_2$-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(z^2) -$NRS(O)_2$-heterocyclic wherein heterocyclic is defined in N herein;

(a^3) -$NRS(O)_2$-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

(b^3) -$NRS(O)_2$-NR-alkyl wherein alkyl is defined in B herein;

(c^3) -$NRS(O)_2$-NR-substituted alkyl wherein substituted alkyl is defined in C herein;

(d^3) -$NRS(O)_2$-NR-aryl wherein aryl is defined in J herein;

(e^3) -$NRS(O)_2$-NR-substituted aryl wherein substituted aryl is defined in K herein;

(f^3) -$NRS(O)_2$-NR-heteroaryl wherein heteroaryl is defined in L herein;

(g^3) -$NRS(O)_2$-NR-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(h^3) -$NRS(O)_2$-NR-heterocyclic wherein heterocyclic is defined in N herein;

(i^3) -$NRS(O)_2$-NR-substituted heterocyclic wherein substituted heterocyclic is defined in O herein and where R is:

(a) hydrogen; or

(b) alkyl as defined in B herein;

(j^3) mono- and di-alkylamino wherein alkylamino is defined in I^29 herein;

(k^3) mono- and di-(substituted alkyl)amino wherein substituted alkyl is defined in C herein and amino is defined in C7 herein;

(l^3) mono- and di-arylamino wherein aryl is defined in J herein and amino is defined in C7 herein;

(m^3) mono- and di-substituted arylamino wherein substituted aryl is defined in K herein and amino is defined in C7 herein;

(n^3) mono- and di-heteroarylamino wherein heteroaryl is defined in L herein and amino is defined in C7 herein;

(o^3) mono- and di-substituted heteroarylamino wherein substituted heteroaryl is defined in M herein and amino is defined in C7 herein;

(p^3) mono- and di-heterocyclic amino wherein heterocyclic is defined in N herein and amino is defined in C7 herein;

(q^3) mono- and di-substituted heterocyclic amino wherein substituted heterocyclic is defined in O herein and amino is defined in C7 herein;

(r^3) unsymmetric di-substituted amines having different substituents selected from:

(a) alkyl as defined in B herein;

(b) substituted alkyl as defined in C herein;

(c) aryl as defined in J herein;

(d) substituted aryl as defined in K herein;

(e) heteroaryl as defined in L herein;

(f) substituted heteroaryl as defined in M herein;

(g) heterocyclic as defined in N herein;

(h) substituted heterocyclic as defined in O herein; and

(i) substituted alkynyl groups having amino groups blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or alkynyl/substituted alkynyl groups substituted with:

(i) $-SO_2$-alkyl wherein alkyl is defined in B herein;

(ii) $-SO_2$-substituted alkyl wherein substituted alkyl is defined in C herein;

(iii) $-SO_2$-alkenyl wherein alkenyl is defined in D herein;

(iv) $-SO_2$-substituted alkenyl wherein substituted alkenyl is defined in E herein;

(v) $-SO_2$-cycloalkyl wherein cycloalkyl is defined in F herein;

(vi) $-SO_2$-substituted cycloalkyl wherein substituted cycloalkyl is defined in G herein;

(vii) $-SO_2$-aryl wherein aryl is defined in J herein;

(viii) $-SO_2$-substituted aryl wherein substituted aryl is defined in K herein;

(ix) $-SO_2$-heteroaryl wherein heteroaryl is defined in L herein;

(x) $-SO_2$-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(xi) $-SO_2$-heterocyclic wherein heterocyclic is defined in N herein;

(xii) $-SO_2$-substituted heterocyclic wherein substituted heterocyclic is defined in O herein; and

(xiii) $-SO_2NRR$ where R is:

(*a*) hydrogen; or

(*b*) alkyl as defined in B herein;

(32) cyano;

(33) acyl as defined in R^1 herein;

(34) substituted acyl;

(35) carboxy;

(36) substituted carboxy;

(37) nitro;

(38) thiol having the formula "-SH";

(39) alkylthio as defined in X herein;

(40) substituted alkylthio having the formula "-S-substituted alkyl";

(41) alkylsulfoxy having the formula "-SO-alkyl";

(42) substituted alkylsulfoxy having the formula "-SO-substituted alkyl";

(43) alkylsulfonyl having the formula "-SO_2-alkyl";

(44) substituted alkylsulfonyl having the formula "-SO_2-substituted alkyl";

(45) aryl as defined in J herein;

(46) substituted aryl as defined in K herein;

(47) heteroaryl as defined in L herein; and

(48) substituted heteroaryl as defined in M herein;

R^2 is selected from the group consisting of:

(I^3) alkyl as defined in B herein;

(J^3) substituted alkyl as defined in C herein;

(K^3) aryl as defined in J herein;

(L^3) substituted aryl as defined in K herein;

(M^3) heteroaryl as defined in L herein;

(N^3) substituted heteroaryl as defined in M herein;

(O^3) cycloalkyl as defined in F herein;

(P^3) substituted cycloalkyl as defined in G herein;

(Q^3) cycloalkenyl as defined in H herein; and

(R^3) substituted cycloalkenyl as defined in I herein;

C is a group wherein W', together with -C(=Z)N-, forms a saturated or unsaturated heterocyclic group containing 2 to 5 carbon atoms and 0 to 4 additional heteroatoms selected from the group consisting of:

(S^3) nitrogen;

(T^3) oxygen; and

(U^3) -SO_n- (where n is 0 to 2);

wherein said saturated or unsaturated heterocyclic group is optionally fused with one or two ring(s) structures selected from the group consisting of:

(V^3) cycloalkyl as defined in F herein;

(W^3) cycloalkenyl as defined in H herein;

(X^3) heterocyclic as defined in N herein;

(Y^3) aryl as defined in J herein; and

(Z^3) heteroaryl group, wherein heteroaryl is defined in L herein;

to form a bi- or tri-fused ring system;

and further wherein said heterocyclic group and each of such ring structures are optionally substituted with 1 to 3 substituents selected from the group consisting of with one or two substituent(s) selected from the group consisting of:

(1) hydrogen;

(2) halogen as defined in Q herein;

(3) hydroxy;

(4) alkoxy as defined in V herein;

(5) substituted alkoxy as defined in B^1 herein;

(6) alkylenedioxy;

(7) acyloxy as defined in T^1 herein;

(8) substituted acyloxy;

(9) amino as defined in C7 herein;

(10) alkylamino as defined in $I^2$9 herein;

(11) substituted alkylamino as defined in $I^2$10 herein;

(12) dialkylamino as defined in $I^2$11 herein;

(13) substituted dialkylamino as defined in $I^2$12 herein;

(14) acylamino as defined in S^1 herein;

(15) substituted acylamino;

(16) N-acyl-N-alkylamino wherein acyl is defined in R^1 herein and alkylamino $I^2$9 herein;

(17) substituted N-acyl-N-alkylamino wherein acyl is defined in R^1 herein and substituted alkylamino is defined in $I^2$10 herein;

(18) (alkylsulfonyl)amino wherein alkylsulfonyl is defined in C^3 herein and amino is defined in C7 herein;

(19) substituted (alkylsulfonyl)amino wherein substituted alkylsulfonyl is defined in D^3 herein and amino is defined in C7 herein;

(20) N-(alkylsulfonyl)-N-alkylamino wherein alkylsulfonyl is defined in C^3 herein and alkylamino is defined in $I^2$9 herein;

(21) substituted N-(alkylsulfonyl)-N-alkylamino wherein substituted alkylsulfonyl is defined in D^3 herein and substituted alkylamino is defined in $I^2$10 herein;

(22) alkyl as defined in B herein;

(23) substituted alkyl as defined in C herein;

(24) cycloalkyl as defined in F herein;

(25) substituted cycloalkyl as defined in G herein;

(26) alkenyl as defined in D herein;

(27) substituted alkenyl as defined in E herein;

(28) cycloalkenyl as defined in H herein;

(29) substituted cycloalkenyl as defined in I herein;

(30) alkynyl as defined in U herein;

(31) substituted alkynyl as defined in $Q^2$31 herein;

(32) cyano;

(33) nitro;

(34) acyl as defined in R^1 herein;

(35) substituted acyl;

(36) carboxy;

(37) substituted carboxy;

(38) thiol as defined in Q^2(38) herein;

(39) alkylthio as defined in X herein;

(40) substituted alkylthio as defined in Z^2 herein;

(41) alkylsulfoxy as defined in A^3 herein;

(42) substituted alkylsulfoxy as defined in B^3 herein;

(43) alkylsulfonyl as defined in C^3 herein;

(44) substituted alkylsulfonyl as defined in D^3 herein;

(45) aryl as defined in J herein;

(46) substituted aryl as defined in K herein;

(47) heteroaryl as defined in L herein; and

(48) substituted heteroaryl as defined in M herein; or

(iii) HetAr where HetAr is a:

(A^4) nitrogen containing heteroaryl, having a heteroaryl ring that contains at least one nitrogen atom in the ring, and that is optionally substituted with:

(1) aryl as defined in J herein; or

(2) substituted aryl group, wherein substituted aryl is defined in K herein;

R^3 and R^{3a} are independently selected from the group consisting of

(A5) hydrogen;

(B5) halogen;

(C5) alkyl as defined in B herein;

(D5) substituted alkyl as defined in C herein;

(E5) alkenyl as defined in D herein;

(F5) alkynyl as defined in U herein;

(G5) alkoxy as defined in V herein;

(H5) haloalkoxy wherein halo is defined in Q herein and alkoxy is defined in V herein;

(I5) alkylthio as defined in X herein;

(J5) $-(Alk^b)_mR^b$, in which Alk^b is C_{1-3}alkylene chain, wherein m is 0 or 1 and R_b is selected from the group consisting of

(1) hydroxyl;

(2) thiol;

(3) nitro;

(4) cyano;

(5) carboxy;

(6) CO_2R^c (wherein R^c is alkyl as defined in B herein);

(7) $-SO_3H$;

(8) SOR^c, wherein R^c is alkyl as defined in B herein;

(9) $-SO_2R^c$ wherein R^c is alkyl as defined in B herein;

(10) $-SO_3R^c$ wherein R^c is alkyl as defined in B herein;

(11) $-OCO_2R^c$ wherein R^c is alkyl as defined in B herein;

(12) -C(O)H;

(13) $-COR^c$ wherein R^c is alkyl as defined in B herein;

(14) $-OCOR^c$ wherein R^c is alkyl as defined in B herein;

(15) $-CSR^c$ wherein R^c is alkyl as defined in B herein;

(16) $-NR^dR^e$ wherein R^d and R^e are independently selected from the group consisting of:

(i) hydrogen;

(ii) alkyl as defined in B herein; and

(iii) substituted alkyl as defined in C herein;

(17) $-CONR^dR^e$; wherein R^d and R^e are independently selected from the group consisting of:

(i) hydrogen;

(ii) alkyl as defined in B herein; and

(iii) substituted alkyl as defined in C herein;

(18) $-OCONR^dR^e$; wherein R^d and R^e are independently selected from the group consisting of:

(i) hydrogen;

(ii) alkyl as defined in B herein; and

(iii) substituted alkyl as defined in C herein;

(19) -NR^dCOR^e wherein R^d and R^e are independently selected from the group consisting of:

(i) hydrogen;

(ii) alkyl as defined in B herein; and

(iii) substituted alkyl as defined in C herein;;

(20) -$CSNR^dR^e$ wherein R^d and R^e are independently selected from the group consisting of:

(i) hydrogen;

(ii) alkyl as defined in B herein; and

(iii) substituted alkyl as defined in C herein;;

(21) -NR^dCSR^e; wherein R^d and R^e are independently selected from the group consisting of:

(i) hydrogen;

(ii) alkyl as defined in B herein; and

(iii) substituted alkyl as defined in C herein;

(22) -$SO_2NR^dR^e$ wherein R^d and R^e are independently selected from the group consisting of:

(i) hydrogen;

(ii) alkyl as defined in B herein; and

(iii) substituted alkyl as defined in C herein;;

(23) -$NR^dSO_2R^e$ wherein R^d and R^e are independently selected from the group consisting of:

(i) hydrogen;

(ii) alkyl as defined in B herein; and

(iii) substituted alkyl as defined in C herein;;

(24) -$NR^dCONR^eR^f$ wherein R^d, R^e and R^f are independently selected from the group consisting of:

(i) hydrogen;

(ii) alkyl as defined in B herein; and

(iii) substituted alkyl as defined in C herein; and

(xii) $-NR^dSO_2NR^eR^f$ wherein R^d, R^e and R^f are independently selected from the group consisting of:

(i) hydrogen;

(ii) alkyl as defined in B herein; and

(iii) substituted alkyl as defined in C herein;

R^{16} is selected from the group consisting of

(K5) hydrogen;

(L5) alkyl as defined in B herein;

(M5) substituted alkyl as defined in C herein;

(N5) alkoxy as defined in V herein;

(O5) substituted alkoxy as defined in B^1 herein;

(P5) amino as defined in C7 herein;

(Q5) substituted amino having the formula NRR, where each R group is independently selected from the group consisting of:

(1) hydrogen;

(2) alkyl as defined in B herein;

(3) substituted alkyl as defined in C herein;

(4) alkenyl as defined in D herein;

(5) substituted alkenyl as defined in E herein;

(6) alkynyl as defined in U herein;

(7) substituted alkynyl as defined in $Q^2$31 herein;

(8) cycloalkyl as defined in F herein;

(9) substituted cycloalkyl as defined in G herein;

(10) aryl as defined in J herein;

(11) substituted aryl as defined in K herein;

(12) heteroaryl as defined in L herein;

(13) substituted heteroaryl as defined in M herein;

(14) heterocyclic as defined in N herein,

(15) substituted heterocyclic as defined in O herein;

(16) -SO_2-alkyl wherein alkyl is defined in B herein;

(17) -SO_2-substituted alkyl wherein substituted alkyl is defined in C herein;

(18) -SO_2-alkenyl wherein alkenyl is defined in D herein;

(19) -SO_2-substituted alkenyl wherein substituted alkenyl is defined in E herein;

(20) -SO_2-cycloalkyl wherein cycloalkyl is defined in F herein;

(21) -SO_2-substituted cycloalkyl wherein substituted cycloalkyl is defined in G herein;

(22) -SO_2-aryl wherein aryl is defined in J herein;

(23) -SO_2-substituted aryl wherein substituted aryl is defined in K herein;

(24) -SO_2-heteroaryl wherein heteroaryl is defined in L herein;

(25) -SO_2-substituted heteroaryl wherein substituted heteroaryl is defined in M herein;

(26) -SO_2-heterocyclic wherein heterocyclic is defined in N herein;

(27) -SO_2-substituted heterocyclic wherein substituted heterocyclic is defined in O herein;

provided that both R groups are not hydrogen;

or the R groups can be joined with the nitrogen atom to form a heterocyclic ring as described in N herein or a substituted heterocyclic ring as described in o herein;

(R5) cycloalkyl as defined in F herein;

(S5) substituted cycloalkyl as defined in G herein;

(T5) aryl as defined in J herein;

(U5) substituted aryl as defined in K herein;

(V5) heteroaryl as defined in L herein;

(W5) substituted heteroaryl as defined in M herein;

(X5) heterocyclic as defined in N herein;

(Y5) substituted heterocyclic as defined in O herein; and

(Z5) halogen as defined in Q herein;

R^{18} is selected from the group consisting of:

(A6) alkyl as defined in B herein;

(B6) substituted alkyl as defined in C herein;

(C6) alkoxy as defined in V herein;

(D6) substituted alkoxy as defined in B^1 herein;

(E6) amino as defined in C7 herein;

(F6) substituted amino as defined in Q5 herein;

(G6) cycloalkyl as defined in F herein;

(H6) substituted cycloalkyl as defined in G herein;

(I6) aryl as defined in J herein;

(J6) substituted aryl as defined in K herein;

(K6) heteroaryl as defined in L herein;

(L6) substituted heteroaryl as defined in M herein;

(M6) heterocyclic as defined in N herein; and

(N6) substituted heterocyclic as defined in O herein;

R^{20} is selected from the group consisting of:

(O6) hydrogen;

(P6) alkyl as defined in B herein;

(Q6) substituted alkyl as defined in C herein;

(R6) alkoxy as defined in V herein;

(S6) substituted alkoxy as defined in B^1 herein;

(T6) cycloalkyl as defined in F herein;

(U6) substituted cycloalkyl as defined in G herein;

(V6) aryl as defined in J herein;

(W6) substituted aryl as defined in K herein;

(X6) heteroaryl as defined in L herein;

(Y6) substituted heteroaryl as defined in M herein;

(Z6) hetercyclic as defined in N herein;

(A7) substituted heterocyclic as defined in O herein; and

(B7) halogen as defined in Q herein;

or enantiomers, diastereomers or pharmaceutically acceptable salts thereof;

and further wherein the compound of Formula I has a binding affinity to VLA-4 as expressed by an IC_{50} of 15 μM or less wherein said binding affinity is determined in a competitive binding assay.

Claim 28 (previously presented): The compound of Claim 27, wherein R^{2a} is an $-Ar^1-R^9$ group.

Claim 29 (previously presented): The compound of Claim 28, wherein Ar^1 is phenyl with the R^9 in the *para* position of the phenyl ring.

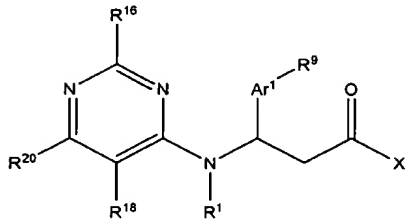
Claim 30 (previously presented): The compound of Claim 29, wherein R^9 is selected from the group consisting of $-O-Z^a-NR^{11}R^{11'}$ and $-O-Z^a-R^{12}$ wherein R^{11} and $R^{11'}$ are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic and where R^{11} and $R^{11'}$ are joined to form a heterocycle or a substituted heterocycle, R^{12} is selected from the group consisting of heterocycle and substituted heterocycle, and Z^a is selected from the group consisting of $-C(O)-$ and $-SO_2-$.

Claim 31 (previously presented): The compound of Claim 30, wherein R9 is $-OC(O)NR^{11}R^{11'}$.

Claim 32 (previously presented): The compound of Claim 31, wherein Ar^1 is phenyl with a $-OCON(CH_3)_2$ group at the para position of the phenyl ring.

Claim 33 (previously presented): The compound of Claims 27-32, wherein R^1, R^3 and R^{3a} are hydrogen and X is hydroxyl.

Claim 34 (previously presented): The compound of claim 27, wherein the compound has the formula IIc:



wherein X is hydroxyl or alkoxy;

R^1 is hydrogen;

R^{16} is selected form the group consisting of hydrogen, alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, substituted amino, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and halogen; and

R^{18} is selected from the group consisting of alkyl, substituted alkyl, alkoxy, amino, substituted amino, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic;

R^{20} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkoxy, substituted alkoxy, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and halogen;

Ar^1 is aryl or heteroaryl optionally substituted with one or two substituents selected from the group consisting of hydroxy, acyl, acylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, aminacyl, aminocarbonyloxy, carboxyl, carboxylalkyl, carboxylamido, cyano, thiol, thioalkyl, substituted thioalkyl, halo, nitro provided that said acyl, acylamino, acyloxy, substituted alkyl, substituted alkoxy and substituted thioalkyl do not carry anaryl, substituted aryl, heteroaryl or substituted heteroaryl group; and

R^9 is selected from the group consisting of acyl, acylamino, acyloxy, aminoacyl, aminocarbonylamino, aminocarbonylamino, aminocarbonyloxy, oxycarbonylamino,

oxythicarbonylamino, thioamidino, thiocarbonylamino, aminosulfonylamino, aminosulfonyloxy, aminosulfonyl, oxysulfonylamino and oxysulfonyl provided that when R^9 is acylamino or acyloxy then the cacylamino or acyloxy group does not carry an aryl, substituted aryl, heteroaryl or substituted heteroaryl group;

or enantiomers, diastereomers, or pharmaceutically acceptable salts thereof.

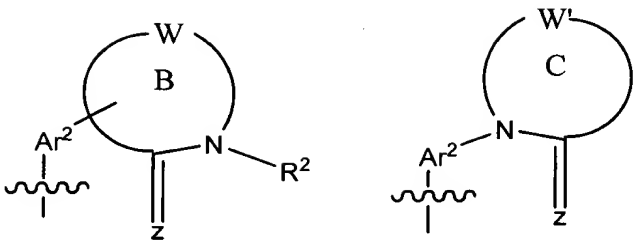
Claim 35 (previously presented): The compound of Claim 34, wherein Ar^1 is phenyl, pyridinyl, or pyrimidinyl ring.

Claim 36 (previously presented): The compound of Claim 35, wherein R^9 is selected from the group consisting of $-O-Z^a-NR^{11}R^{11'}$ and $-O-Z^a-R^{12}$ wherein R^{11} and $R^{11'}$ are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic and where R^{11} and $R^{11'}$ are joined to form a heterocycle or a substituted heterocycle, R^{12} is selected from the group consisting of heterocycle and substituted heterocycle, and Z^a is selected from the group consisting of -C(O)- and $-SO_2-$.

Claim 37 (previously presented): The compound of Claim 36, wherein R^9 is $-OC(O)N\ R^{11}R^{11'}$.

Claim 38 (previously presented): The compound of Claim 37, wherein X is hydroxyl and R^1 is hydrogen and R^9 is $-OC(O)N(CH_3)_2$.

Claim 39 (previously presented): The compound of Claim 27, wherein R^{2a} is a group of formula (a) or (b):



(a) (b)

wherein Ar^2, B, C and Z are as defined in Claim 27.

Claim 40 (previously presented): The compound of Claim 39, wherein B is selected from the group wherein:

(a) W, together with $-C(=Z)NR^2-$ where Z is -O-, forms an unsaturated heterocyclic group containing 3 or 4 carbon atoms and 0 or 1 additional nitrogen atoms and further wherein the unsaturated heterocyclic group is optionally substituted, in addition to the R^2 group, with 1 or 2 substituents selected from the group consisting of alkyl, alkoxy, substituted alkoxy, alkenoxy, substituted alkenyloxy, halo, hydroxyl, mono or dialkylamino; or

(b) W, together with $-C(=Z)NR^2-$ where Z is -O-, forms a saturated or unsaturated heterocyclic group containing 3 or 4 carbon atoms and 0 or 1 additional nitrogen atoms wherein said saturated or unsaturated heterocyclic group is fused to a heterocyclic ring selected from the group consisting of dioxolane, dioxane, homodioxane, oxetane, tetrahydrofuran, dihydropyran, furan, oxazolidine, oxazole, isoxazole, oxazolidinone, oxathiolane, and 1,3-dioxolan-2-one and wherein the resulting fused ring is optionally substituted, in addition to the R^2 group, on any ring atom capable of substitution with 1 or 2 substituents selected from the group consisting of alkyl, alkoxy, substituted alkoxy, alkenyloxy, substituted alkenyloxy, halo, hydroxyl,mono or dialkylamino; and

C is either a group wherein:

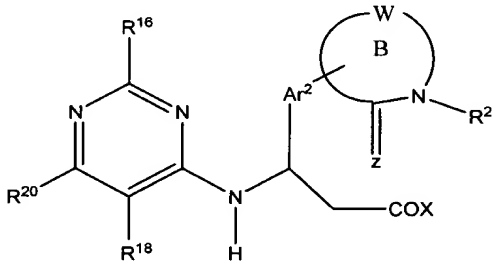
(a) W, together with $-C(=Z)NR^2-$ where Z is -O-, forms an unsaturated heterocyclic group containing 2 to 4 carbon atoms and 0 to 2 additional nitrogen atoms and further wherein the unsaturated heterocyclic group is optionally substituted, in addition to the R^2 group, with 1 or

2 substituents selected from the group consisting of alkyl, alkoxy, substituted alkoxy, alkenyloxy, substituted alkenyloxy, halo, hydroxyl, mono or dialkylamino; or

(b) W, together with -C(=Z)NR^2- where Z is -O-, forms a saturated or unsaturated heterocyclic group containing 2 to 4 carbon atoms and 0 to 2 additional nitrogen atoms wherein said saturated or unsaturated heterocyclic group is fused to a heterocyclic ring selected from the group consisting of dioxolane, dioxane, homodioxane, oxetane, tetrahydrofuran, dihydropyran, furan, oxazolidine, oxazole, isoxazole, oxazolidinone, oxathiolane, and 1,3-dioxolan-2-one and wherein the resulting fused ring is optionally substituted, in addition to the R^2 group, on any ring atom capable of substitution with 1 or 2 substituents selected from the group consisting of alkyl, alkoxy, substituted alkoxy, alkenyloxy, halo, hydroxyl, mono or dialkylamino.

Claim 41 (previously presented): The compound of Claim 40 wherein R^1, R^3, and R^{3a} are hydrogen, and X is hydroxy.

Claim 42 (previously presented): The compound of Claim 27, wherein the compound has the formula IIIc:



wherein:

X is hydroxyl or alkoxy;

Ar^2 is an aryl or heteroaryl group optionally substituted, in addition to ring B or C, with one or two substituents(s) selected from the group consisting of hydrogen, halogen, hydroxyl, alkoxy, substituted alkoxy, acyloxy, substituted acyloxy, amino, alkylamino, substituted alkylamino, dialkylamino, substituted dialkylamino, acylamino, substituted acylamino, N-acyl-N-alkylamino, substituted N-acyl-N-alkylamino, (alkylsulfonyl)amino, substituted

(alkylsulfonyl)amino, N-(alkylsulfonyl)-N-alkylamino, substituted N-(alkylsulfonyl)-N-alkylamino, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, alkenyl, substituted alkenyl, cycloalkenyl, substituted cycloalkenyl, alkynyl, substituted alkynyl, cyano, acyl, substuted acyl, carboxy, substituted carboxy, thiol, alkylthio, substituted alkylthio, alkylsulfoxy, substituted alkylsuulfoxy, alkylsulfonyl, and substituted alkylsulfonyl;

R^{16} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, substituted amino, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and halogen; and

R^{18} is selected from the group consisting of alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, substituted amino, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, and substituted heterocyclic;

R^{20} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkoxy, substituted alkoxy, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and halogen;

B is a group wherein W, together with $-C(=Z)NR^2-$, forms a saturated or unsaturated heterocyclic group containing 2 to 5 carbon atoms and 0 to 4 additional heteroatoms selected from the group consisting of nitrogen, oxygen, and $-SO_n-$ (where n is 0 to 2) wherein said saturated or unsaturated heterocyclic group is optionally fused with on eor two ring(s) structures selected from the group consisting of cycloalkyl, cycloalkenyl, heterocyclic, aryl and heteroaryl group to form a bi- or tri-fused ring system and further wherein said heterocyclic group and each of such ring structures are optionally substituted with 1 to 3 substituents selected from the group consisting of with onw or two substituent(s) selected from the group consisting of hydrogen, halogen, hydroxyl, alkoxy, substituted alkoxy, acyloxy, substituted acyloxy, amino, alkylamin, substituted alkylamino, dialkylarmin, substituted dialkylamino, acylamino, substituted acylamino, N-acyl-N-alkylamino, substituted N-acyl-N-alkylamino, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, alkenyl, substituted alkenyl, cycloalkenyl, substituted cycloalkenyl, alkynyl, substituted alkynyl, cyano, acyl, substituted acyl, carboxy, substituted

carboxy, nitro, thiol, alkylthio, substituted alkylthio, alkylsulfoxy, substituted alkylsulfoxy, alkylsulfonyl, substituted alkylsulfonyl, aryl, substituted aryl, heterolaryl, substituted heterolaryl;

R2 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, and substituted cycloalkenyl;

or enantiomers, diastereomers or pharmaceutically acceptable salts thereof.

Claim 43 (previously presented): The compound of Claim 42 wherein B is either:

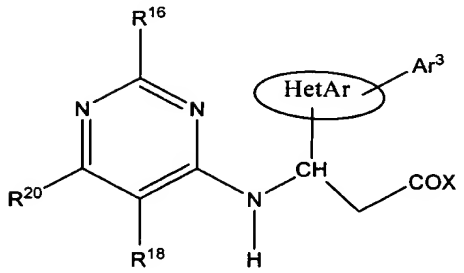
(a) a group wherein W, together with $-C(=Z)NR^2-$ where Z is -O-, forms an unsaturated heterocyclic group containing 2 to 4 carbon atoms and 0 to 2 additional nitrogen atoms and further wherein the unsaturated heterocyclic group is optionally substituted, in addition to the R2 group, with 1 or 2 substituents selected from the group consisting of alkenyloxy, halo, hydroxyl, mono or dialkylamino; or

(b) a group wherein W, together with $-C(=Z)NR^2-$ where Z is -O-, forms a saturated or unsaturated heterocyclic group containing 2 to 4 carbon atoms and 0 to 2 additional nitrogen atoms wherein said saturated or unsaturated heterocyclic group is fused to a heterocyclic ring selected from the group consisting of dioxolane, dioxane, homodioxane, oxetane, tetrahydrofuran, dihydropyran, furan, oxazolidine, oxazole, isoxazole, oxazolidinone, oxathiolane, and 1,3-dioxolon-2-one and wherein the resulting fused ring is optionally substituted, in addition to the R^2 group, on any ring atom capable of substitution with 1 or 2 substituents selected from the group consisting of alkyl, alkoxy, substituted alkoxy, alkenyloxy, substituted alkenyloxy, halo, hydroxyl, mono or dialkylamino.

Claim 44 (previously presented): The compound of Claim 43 wherein Ar^2 is phenyl.

Claim 45 (previously presented): The compound of Claim 27 wehrein R2a is HetAr where HetAr is a nitrogen containing 6-memberedheteroaryl that is optionally substituted with an aryl or substituted aryl group.

Claim 46 (previously presented): The compound of Claim 27 wherein the compounds are of formula IVd:



wherein:

HetAr is a nitrogen containing heteroaryl group;

Ar^3 is aryl or substituted aryl;

R^{16} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, substituted amino, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and halogen;

R^{18} is selected from the group consisting of alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, substituted amino, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic;

R^{20} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkoxy, substituted alkoxy, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and halogen;

X is hydroxyl;

or enantiomers, diastereomers or pharmaceutically acceptable salts thereof.

Claim 47 (previously presented): The compound of Claim 46 wherein HetAr is pyridinyl, pyrimidinyl, pyrazinyl or pyridazinyl and Ar^3 is a substituted phenyl.

Claim 48 (currently amended): A method for treating **asthma** ~~**a disease mediated by VLA-4**~~ in a patient~~**, wherein the disease is selected from the group consisting of asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, acute juvenile onset diabetes, inflammatory bowel disease, ulcerative colitis, Crohn's disease, multiple sclerosis, rheumatoid arthritis, tissue transplantation, tumor metastasis, meningitis, encephalitis, stroke, and other cerebral traumas, nephritis, retinitis, atopic dermatitis, psoriasis, myocardial ischemia, acute leukocyte mediated lung injury, adult respiratory distress syndrome, erythema nodosum, allergic conjunctivitis, optic neuritis, uveitis, allergic rhinitis, Ankylosing spondylitis, psoriatic arthritis, vasculitis, Reiter's syndrome, systemic lupus erythematosus, progressive systemic sclerosis, polymyositis, dermatomyositis, Wegner's granulomatosis, aortitis, sarcoidosis, lymphocytopenia, temporal arteritis, pericarditis, myocarditis, congestive heart failure, polyarteritis nodosa, hypersensitivity syndromes, allergy, hypereosinophilic syndromes, Churg-Strauss syndrome, chronic obstructive pulmonary disease, hypersensitivity pneumonitis, chronic active hepatitis, interstitial cystitis, autoimmune endocrine failure, primary biliary cirrhosis, autoimmune aplastic anemia, chronic persistent hepatitis and thyroiditis,** which method comprises administering a pharmaceutical composition comprising a pharmaceutically effective carrier and a therapeutically effective amount of a compound of any one of Claims 27-32 or 34-47.

Claim 49 (previously presented): A pharmaceutical compositions comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of any one of claims 27-32 or 34-47.

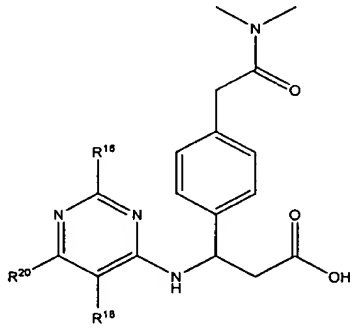
Claim 50 (currently amended): A method for treating **asthma** ~~**a disease mediated by VLA-4**~~ in a patient~~**, wherein the disease is selected from the group consisting of asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, acute juvenile onset diabetes, inflammatory bowel disease, ulcerative colitis, Crohn's disease, multiple sclerosis, rheumatoid arthritis, tissue transplantation, tumor metastasis, meningitis, encephalitis,**

~~stroke, and other cerebral traumas, nephritis, retinitis, atopic dermatitis, psoriasis, myocardial ischemia, acute leukocyte-mediated lung injury, adult respiratory distress syndrome, erythema nodosum, allergic conjunctivitis, optic neuritis, uveitis, allergic rhinitis, Ankylosing spondylitis, psoriatic arthritis, vasculitis, Reiter's syndrome, systemic lupus erythematosus, progressive systemic sclerosis, polymyositis, dermatomyositis, Wegner's granulomatosis, aortitis, sarcoidosis, lymphocytopenia, temporal arteritis, pericarditis, myocarditis, congestive heart failure, polyarteritis nodosa, hypersensitivity syndromes, allergy, hypereosinophilic syndromes, Churg-Strauss syndrome, chronic obstructive pulmonary disease, hypersensitivity pneumonitis, chronic active hepatitis, interstitial cystitis, autoimmune endocrine failure, primary biliary cirrhosis, autoimmune aplastic anemia, chronic persistent hepatitis and thyroiditis,~~ which method comprises administering a pharmaceutical composition comprising a pharmaceutically effective carrier and a therapeutically effective amount of a compound of Claim 33.

Claim 51 (previously presented) A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of Claim 33.

Claims 52 (previously canceled).

Claim 53 (previously presented): The compound of claim 27 and having the structure:



wherein:

R^{16} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, substituted amino, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and halogen;

R^{18} is selected from the group consisting of alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, substituted amino, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic; and

R^{20} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkoxy, substituted alkoxy, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and halogen.

54. (currently amended) A method for treating **asthma** ~~**a disease mediated by VLA-4**~~ in a patient~~**, wherein the disease is selected from the group consisting of asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, acute juvenile-onset diabetes, inflammatory bowel disease, ulcerative colitis, Crohn's disease, multiple sclerosis, rheumatoid arthritis, tissue transplantation, tumor metastasis, meningitis, encephalitis, stroke, and other cerebral traumas, nephritis, retinitis, atopic dermatitis, psoriasis, myocardial ischemia, acute leukocyte-mediated lung injury, adult respiratory distress syndrome, erythema nodosum, allergic conjunctivitis, optic neuritis, uveitis, allergic rhinitis, Ankylosing spondylitis, psoriatic arthritis, vasculitis, Reiter's syndrome, systemic lupus erythematosus, progressive systemic sclerosis, polymyositis, dermatomyositis, Wegner's granulomatosis, aortitis, sarcoidosis, lymphocytopenia, temporal arteritis, pericarditis, myocarditis, congestive heart failure, polyarteritis nodosa, hypersensitivity syndromes, allergy, hypereosinophilic syndromes, Churg-Strauss syndrome, chronic obstructive pulmonary disease, hypersensitivity pneumonitis, chronic active hepatitis, interstitial cystitis, autoimmune endocrine failure, primary biliary cirrhosis, autoimmune aplastic anemia, chronic persistent hepatitis and thyroiditis,~~ which method comprises administering a pharmaceutical composition comprising a pharmaceutically effective carrier and a therapeutically effective amount of a compound of Claim 53.

55. (previously presented) A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of Claim 53.

56. (canceled)